

=> fil reg

FILE 'REGISTRY' ENTERED AT 15:47:05 ON 19 AUG 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 18 AUG 2003 HIGHEST RN 569296-21-5
DICTIONARY FILE UPDATES: 18 AUG 2003 HIGHEST RN 569296-21-5

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

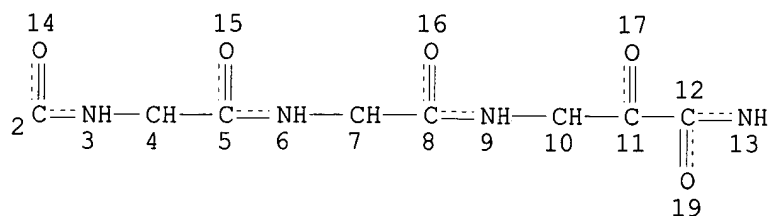
Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d sta que 19

L1 (309)SEA FILE=REGISTRY ABB=ON PLU=ON (393581-77-6/BI OR 393581-82-
3/BI OR 102410-65-1/BI OR 106-95-6/BI OR 109183-71-3/BI OR
120-14-9/BI OR 13211-31-9/BI OR 135112-28-6/BI OR 137381-03-4/B
I OR 143935-63-1/BI OR 143978-92-1/BI OR 149885-80-3/BI OR
150908-38-6/BI OR 151275-26-2/BI OR 161321-36-4/BI OR 166196-05
-0/BI OR 166196-06-1/BI OR 181955-79-3/BI OR 2462-31-9/BI OR
270587-81-0/BI OR 2762-32-5/BI OR 276888-16-5/BI OR 276888-17-6
/BI OR 276888-38-1/BI OR 276888-55-2/BI OR 276888-56-3/BI OR
2900-27-8/BI OR 2935-35-5/BI OR 2999-46-4/BI OR 35264-05-2/BI
OR 35661-40-6/BI OR 35661-60-0/BI OR 367258-42-2/BI OR
367258-43-3/BI OR 367258-44-4/BI OR 367258-45-5/BI OR 367258-46
-6/BI OR 367258-47-7/BI OR 367259-26-5/BI OR 367259-52-7/BI OR
367260-51-3/BI OR 36791-04-5/BI OR 371111-94-3/BI OR 371112-18-
4/BI OR 371112-23-1/BI OR 393580-04-6/BI OR 393580-05-7/BI OR
393580-06-8/BI OR 393580-07-9/BI OR 393580-08-0/BI OR 393580-09
-1/BI OR 393580-10-4/BI OR 393580-11-5/BI OR 393580-12-6/BI OR
393580-13-7/BI OR 393580-14-8/BI OR 393580-15-9/BI OR 393580-16
-0/BI OR 393580-17-1/BI OR 393580-18-2/BI OR 393580-19-3/BI OR
393580-20-6/BI OR 393580-21-7/BI OR 393580-22-8/BI OR 393580-23
-9/BI OR 393580-24-0/BI OR 393580-25-1/BI OR 393580-26-2/BI OR
393580-27-3/BI OR 393580-28-4/BI OR 393580-29-5/BI OR 393580-30
-8/BI OR 393580-31-9/BI OR 393580-32-0/BI OR 393580-33-1/BI OR
393580-34-2/BI OR 393580-35-3/BI OR 393580-36-4/BI OR 393580-37
-5/BI OR 393580-38-6/BI OR 393580-39-7/BI OR 393580-40-0/BI OR
393580-41-1/BI OR 393580-42-2/BI OR 393580-43-3/BI OR 393580-44
-4/BI OR 393580-45-5/BI OR 393580-46-6/BI OR 393580-47-7/BI OR
393580-48-8/BI OR 393580-49-9/BI OR 393580-50-2/BI OR 393580-51
-3/BI OR 393580-52-4/BI OR 393580-53-5/BI OR 393580-54-6/BI OR
393580-55-7/BI OR 393580-56-8/BI OR 393580-57-9/BI OR 393580-58
-0/BI OR 393580

L2

STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

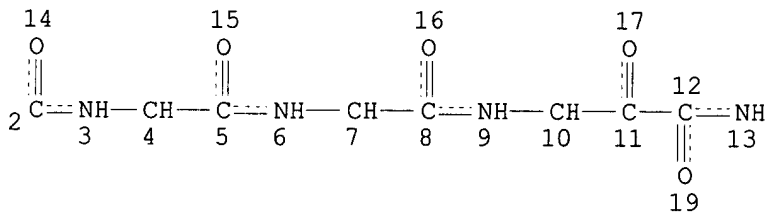
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L3 (672)SEA FILE=REGISTRY SSS FUL L2
 L4 (177)SEA FILE=REGISTRY ABB=ON PLU=ON L1 AND L3
 L5 (132)SEA FILE=REGISTRY ABB=ON PLU=ON L1 NOT L4
 L6 (16)SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND (C34H49N5O11 OR
 C41H58N6O8 OR C39H58N6O8 OR C39H47F4N5O10 OR C37H57N5O8 OR
 C45H53N5O9 OR C39H53N5O9 OR C39H58N6O9 OR C39H58N6O9 OR
 C41H61N5O9 OR C38H47N5O9 OR C38H57N5O11 OR C38H60N6O10S OR
 C38H60N6O8 OR C37H53N5O9 OR C38H52N6O10S OR C39H49CL2N5O10)
 L7 (21)SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND SQL/FA NOT L6
 L8 (1)SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND C33H54N6O10
 L9 194 SEA FILE=REGISTRY ABB=ON PLU=ON (L4 OR L6 OR L8)

=> d sta que 123

L16 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

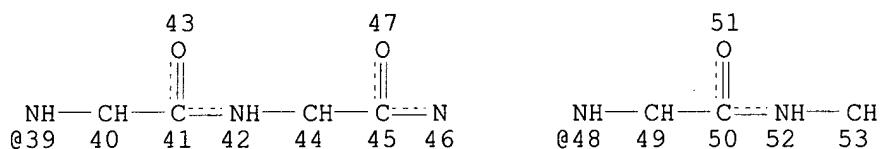
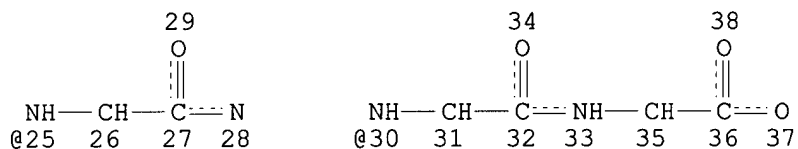
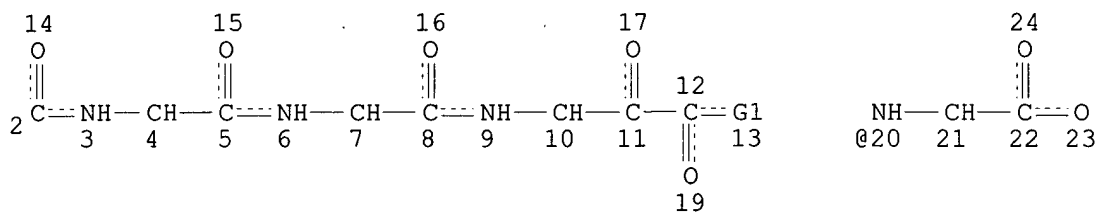
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L17 (672)SEA FILE=REGISTRY SSS FUL L16
 L18 STR



VAR G1=NH2/20/25/30/39/48

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

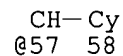
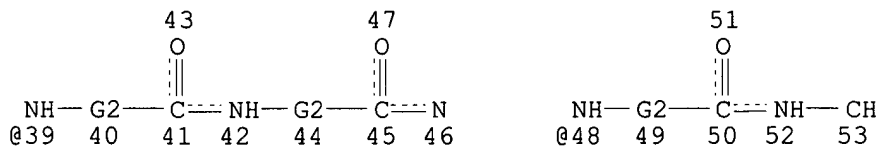
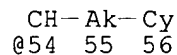
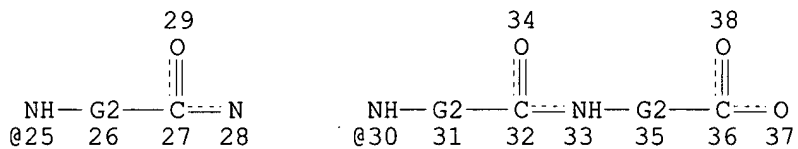
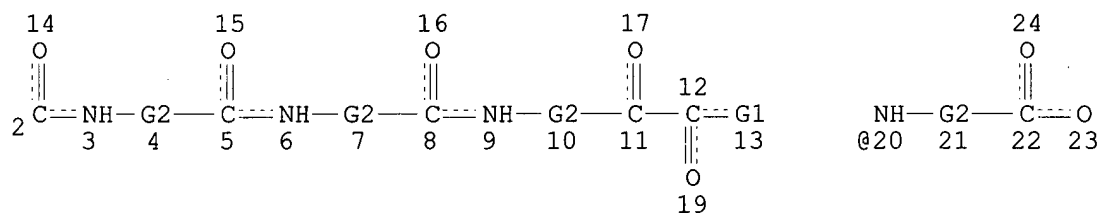
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 51

STEREO ATTRIBUTES: NONE

L19 (445)SEA FILE=REGISTRY SUB=L17 SSS FUL L18

L20	STR
-----	-----



CH—Ak
@60 59

VAR G1=NH2/20/25/30/39/48

VAR G2=CH2/60/57/54

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

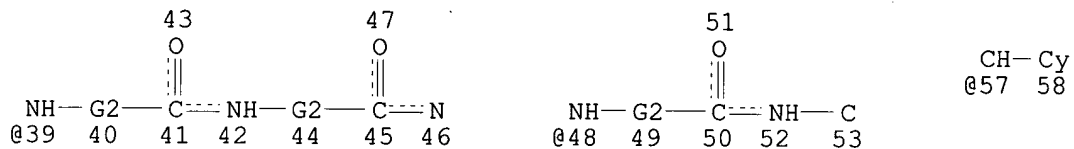
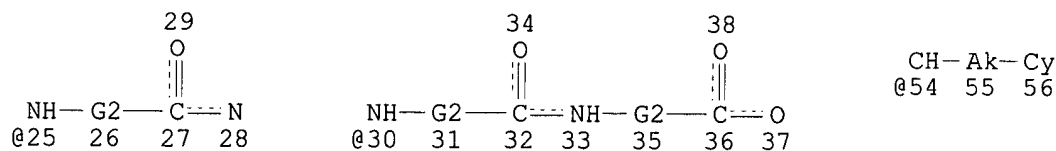
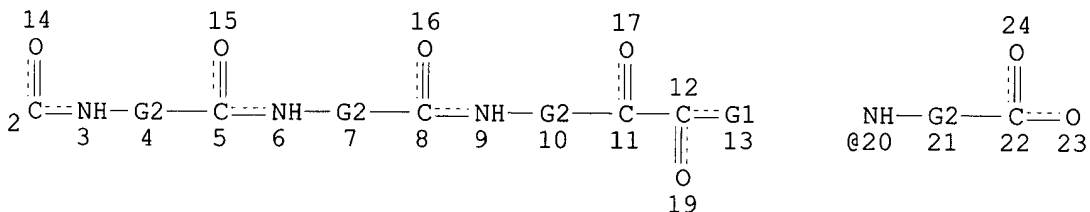
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 58

STEREO ATTRIBUTES: NONE

L21 (445)SEA FILE=REGISTRY SUB=L19 SSS FUL L20

L22 STR



CH-Ak
@60 59

VAR G1=NH2/20/25/30/39/48

VAR G2=CH2/60/57/54

NODE ATTRIBUTES:

CONNECT IS M1 RC AT 2

CONNECT IS M1 RC AT 23

CONNECT IS M1 RC AT 28

CONNECT IS M1 RC AT 37

CONNECT IS M1 RC AT 46

CONNECT IS M1 RC AT 53

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 58

STEREO ATTRIBUTES: NONE

L23 336 SEA FILE=REGISTRY SUB=L21 CSS FUL L22

100.0% PROCESSED 445 ITERATIONS

SEARCH TIME: 00.00.01

336 ANSWERS

=> d his

(FILE 'HCAPLUS' ENTERED AT 15:33:29 ON 19 AUG 2003)
DEL HIS

FILE 'REGISTRY' ENTERED AT 15:34:04 ON 19 AUG 2003
ACT MON909A/A

```

L1 (      309)SEA FILE=REGISTRY ABB=ON  PLU=ON  (393581-77-6/BI OR 393581-82-
L2      STR
L3 (      672)SEA FILE=REGISTRY SSS FUL L2
L4 (      177)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 AND L3
L5 (      132)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 NOT L4
L6 (        16)SEA FILE=REGISTRY ABB=ON  PLU=ON  L5 AND (C34H49N5O11 OR C41H58
L7 (        21)SEA FILE=REGISTRY ABB=ON  PLU=ON  L5 AND SQL/FA NOT L6
L8 (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  L7 AND C33H54N6O10
L9      194 SEA FILE=REGISTRY ABB=ON  PLU=ON  (L4 OR L6 OR L8)

```

ACT MON909C/A

```

L10      STR
L11 (      672)SEA FILE=REGISTRY SSS FUL L10
L12      STR
L13 (      445)SEA FILE=REGISTRY SUB=L11 SSS FUL L12
L14      STR
L15      445 SEA FILE=REGISTRY SUB=L13 SSS FUL L14

```

ACT MON909D/A

```

L16      STR
L17 (      672)SEA FILE=REGISTRY SSS FUL L16
L18      STR
L19 (      445)SEA FILE=REGISTRY SUB=L17 SSS FUL L18
L20      STR
L21 (      445)SEA FILE=REGISTRY SUB=L19 SSS FUL L20
L22      STR
L23      336 SEA FILE=REGISTRY SUB=L21 CSS FUL L22

```

```

L24      268 S L15 NOT L9
L25      68 S L24 NOT L23
L26      200 S L23 NOT L9

```

FILE 'HCAPLUS' ENTERED AT 15:41:29 ON 19 AUG 2003

```

L27      2 S L9
L28      17 S L26
L29      24 S L24,L25
L30      1 S L27 AND L28,L29
L31      2 S L27,L30
L32      23 S L28,L29 NOT L31
L33      18 S L32 AND (PD<=20000721 OR PRD<=20000721 OR AD<=20000721)
L34      2 S L33 AND (SCHERING? OR PLOUGH? OR CORVAS?)/PA,CS
L35      2 S L33 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR GIRIJAVALLABHN? OR
L36      2 S L33 AND (NJOROG ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L37      2 S L31 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR GIRIJAVALLABHN? OR
L38      2 S L31 AND (NJOROG ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L39      2 S L31 AND (SCHERING? OR PLOUGH? OR CORVAS?)/PA,CS
L40      4 S L31,L34-L39
L41      16 S L33 NOT L40
L42      9 S L41 NOT P/DT
L43      7 S L41 NOT L42

```

FILE 'REGISTRY' ENTERED AT 15:47:05 ON 19 AUG 2003

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 15:47:30 ON 19 AUG 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Aug 2003 VOL 139 ISS 8

FILE LAST UPDATED: 18 Aug 2003 (20030818/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l40 all fhitr tot

L40 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:466030 HCAPLUS

DN 137:47444

TI Preparation of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus

IN Zhu, Zhaoning; Sun, Zhong-Yue; Venkatraman, Srikanth; Njoroge, F. George; Arasappan, Ashok; Malcolm, Bruce A.; Girijavallabhan, Viyyoor M.; Lovey, Raymond G.; Chen, Kevin X.

PA Schering Corporation, USA

SO PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DT Patent

LA English

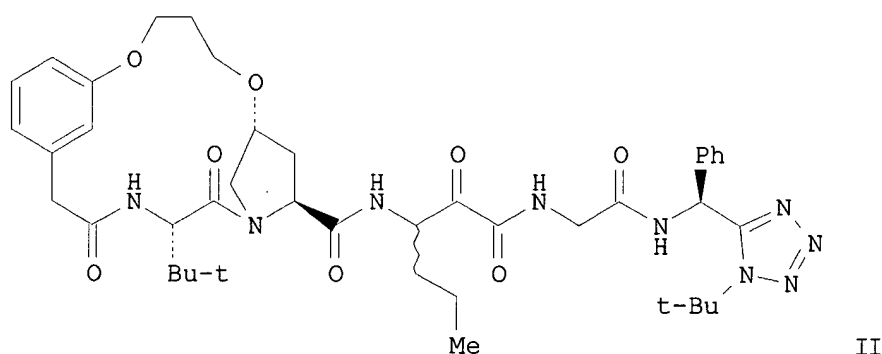
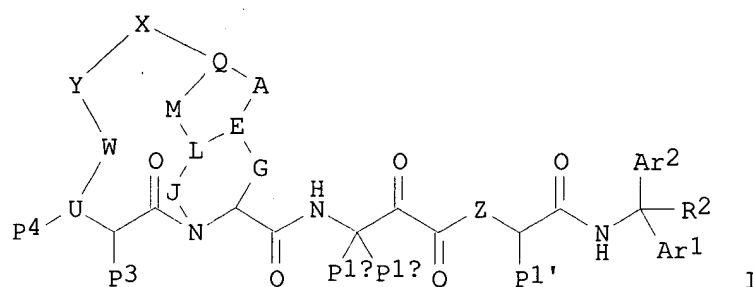
IC ICM C07K

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002048172	A2	20020620	WO 2001-US47383	20011210
	WO 2002048172	A3	20030619		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2002036591	A5	20020624	AU 2002-36591	20011210
	US 2002147139	A1	20021010	US 2001-13071	20011210
PRAI	US 2000-254869P	P	20001212		
	WO 2001-US47383	W	20011210		
OS	MARPAT 137:47444				
GI					



AB Title compds. I [X, Y = (cyclo)alkyl, heteroalkyl, (aryl)heteroaryl, alkyl(hetero)aryl, substituted ether, sulfide, sulfone, amide, sulfonamide, urea, carbamate, hydrazide, carbonyl, etc.; W = null, CO, CS, or SO₂; Q = null, CH, N, P, alkylene, O, imino, S, or SO₂; A = O, CH₂, alkylene, imino, S, SO₂, or a bond; E = CH or substituted methylidyne, N, or a double bond toward A, L, or G; G = null or alkylene; J = null or alkylene, SO₂, imino, or O; L = null or CH or substituted methylidyne, O, S, or imino; M = null or O, imino, S, SO₂, or alkylene; P1a, P1b, P1', P3 = H, alkyl, alkenyl, cycloalkyl, heterocyclyl, (cycloalkyl)alkyl, or (heterocyclyl)alkyl; P1aP1bC may form a ring; Z = O or imino; Ar1, Ar2 = (un)substituted Ph, 2-, 3-, or 4-pyridyl or their N-oxides, 2- or 3-furanyl, etc.; P4 = H, alkyl, arylalkyl, or aryl; R2 = H, cyano, CF₃, (cyclo)alkyl, aryl, carboxy, etc. (with provisos)] were prepd. as hepatitis C virus (HCV) protease inhibitors. Thus, compd. II was prepd. by a multi-step procedure and showed K_i = 100-999 nM for inhibition of serine protease.

ST aryl peptide prepn NS3 serine protease inhibitor; peptide diaryl prepn hepatitis C treatment

IT Hepatitis

(C, treatment; prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Antiviral agents

(prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Peptides, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 149885-80-3, Ns3 serine protease

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of diaryl peptides as NS3-serine protease inhibitors of
hepatitis C virus)

IT **393580-15-9P 437768-05-3P 437768-06-4P**
437768-07-5P **437768-08-6P** 437768-09-7P 437768-10-0P
437768-11-1P 437768-12-2P 437768-13-3P 437768-14-4P
437768-15-5P 437768-16-6P 437768-17-7P 437768-18-8P 437768-19-9P
437768-20-2P 437768-21-3P 437768-22-4P 437768-23-5P 437768-24-6P
437768-25-7P 437768-26-8P 437768-27-9P 437768-28-0P 437768-29-1P
437768-30-4P 437768-31-5P 437768-32-6P 437768-33-7P
438041-67-9P 438041-68-0P 438041-69-1P 438041-70-4P 438041-71-5P
438041-72-6P 438041-73-7P 438041-74-8P 438041-75-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of diaryl peptides as NS3-serine protease inhibitors of
hepatitis C virus)

IT 91-00-9, Benzhydramine 98-58-8, 4-Bromobenzenesulfonyl chloride
109-80-8, 1,3-Propanedithiol 135-00-2, 2-Benzoylthiophene 621-37-4,
3-Hydroxyphenylacetic acid 2689-59-0, 2-Benzoylfuran 5680-79-5,
Glycine methyl ester hydrochloride 7210-75-5, 2-Benzoylthiazole
13726-69-7 35264-05-2 54314-84-0, Benzyl 3-bromopropyl ether
62965-35-9 86992-84-9 141621-25-2 166196-06-1 216378-84-6
367258-52-4 437768-51-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of diaryl peptides as NS3-serine protease inhibitors of
hepatitis C virus)

IT 5693-42-5P 16217-15-5P 24295-07-6P 53252-10-1P 64187-48-0P
78558-73-3P 83948-38-3P 91137-23-4P 113490-83-8P 117811-78-6P
189215-89-2P 216378-87-9P 367258-44-4P 367258-64-8P 367258-65-9P
367258-66-0P 367258-67-1P 367259-54-9P 367259-62-9P 367260-36-4P
367260-38-6P 367261-73-2P 393524-36-2P 393524-40-8P 393524-42-0P
393524-47-5P 394721-40-5P 394721-42-7P 437768-34-8P 437768-35-9P
437768-36-0P 437768-37-1P 437768-38-2P 437768-39-3P 437768-40-6P
437768-41-7P 437768-42-8P 437768-43-9P 437768-44-0P 437768-45-1P
437768-46-2P 437768-47-3P 437768-48-4P 437768-49-5P 437768-50-8P
437768-52-0P 437768-53-1P 437768-54-2P 437768-55-3P 437768-56-4P
437768-57-5P 437768-58-6P 438041-76-0P 438041-77-1P 438041-78-2P
438041-79-3P 438041-80-6P 438041-81-7P 438041-82-8P 438041-83-9P
438041-84-0P 438041-85-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. of diaryl peptides as NS3-serine protease inhibitors of
hepatitis C virus)

IT **393580-15-9P**

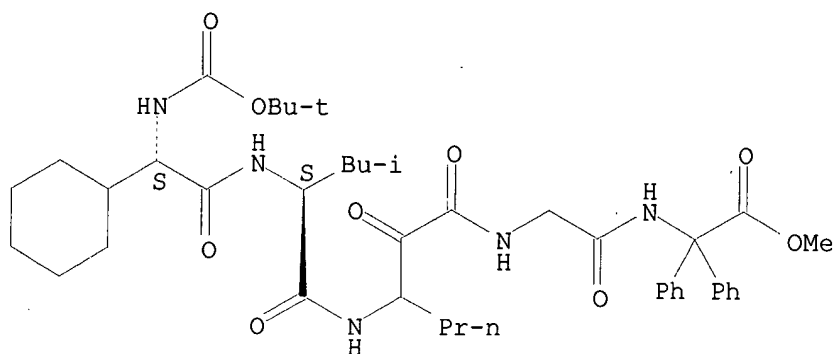
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of diaryl peptides as NS3-serine protease inhibitors of
hepatitis C virus)

RN 393580-15-9 HCAPLUS

CN Glycine, (2S)-2-cyclohexyl-N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-leucyl-
3-amino-2-oxohexanoylglycyl-2,2-diphenyl-, methyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



L40 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:90074 HCAPLUS

DN 136:151440

TI Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus

IN **Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Liu, Yi-Tsung; Arasappan, Ashok; Parekh, Tejal; Pinto, Patrick A.; Njoroge, F. George; Ganguly, Ashit K.; Brunck, Terence K.; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita**

PA Schering Corporation, USA; Corvas International, Inc.

SO PCT Int. Appl., 197 pp.

CODEN: PIXXD2

DT Patent

LA English

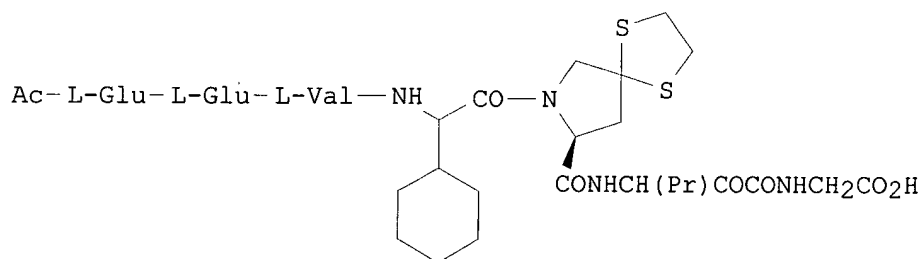
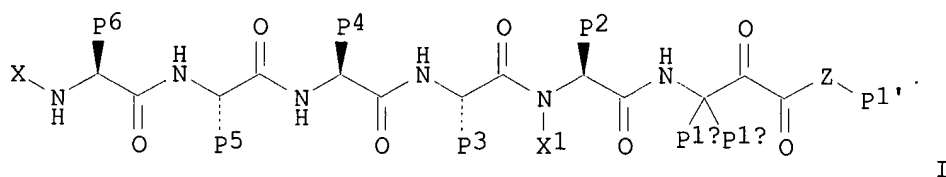
IC ICM C07K014-00

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008256	A2	20020131	WO 2001-US22826	20010719 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003036501	A1	20030220	US 2001-909062	20010719 <--
	EP 1301528	A2	20030416	EP 2001-959046	20010719 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-220109P	P	20000721	<--	
	WO 2001-US22826	W	20010719		
OS	MARPAT 136:151440				
GI					



- AB Novel peptides I [Z = O, NH or substituted imino; X = (un)substituted alkylsulfonyl, heterocyclylsulfonyl, heterocyclylalkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkylcarbonyl, heterocyclylcarbonyl, heterocyclylalkylcarbonyl, arylcarbonyl, heteroarylcabonyl, alkoxy carbonyl, heterocyclyl oxy carbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, alkyaminocarbonyl, heterocyclylaminocarbonyl, arylaminocarbonyl, or heteroarylamino carbonyl; X1 = H, alkyl, arylmethyl; Pla, Plb, P2-P6 = H, (un)substituted alkyl, alkenyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; Pla and Plb may optionally be joined to each other to form a spirocyclic or spiroheterocyclic ring contg. 0-6 oxygen, nitrogen, sulfur, or phosphorus atoms; P1' = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] having HCV protease inhibitory activity are disclosed. Thus, peptide II was prepd. via peptide coupling in soln. and showed $K_i = 1-100$ nM for inhibition of HCV protease.
- ST peptide prepn NS3 serine protease inhibitor; hepatitis C virus treatment peptide
- IT Hepatitis
(C, treatment; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)
- IT Antiviral agents
(pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)
- IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)
- IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)
- IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(.alpha., pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)
- IT 149885-80-3, NS3-NS4A protease

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of novel peptides as NS3-serine protease inhibitors of
hepatitis C virus)

IT 393519-93-2P 393520-05-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of novel peptides as NS3-serine protease inhibitors of
hepatitis C virus)

IT 393519-95-4P 393519-97-6P 393520-00-8P 393520-02-0P 393520-03-1P
393520-07-5P 393520-09-7P 393520-11-1P 393520-13-3P 393520-15-5P
393520-17-7P 393520-19-9P 393520-21-3P 393520-23-5P 393520-25-7P
393520-27-9P 393520-29-1P 393520-31-5P 393520-33-7P 393520-35-9P
393520-37-1P 393520-49-5P 393520-51-9P 393520-53-1P 393520-55-3P
393520-57-5P 393520-59-7P 393520-61-1P 393520-63-3P 393520-65-5P
393520-67-7P 393520-69-9P 393520-70-2P 393520-72-4P 393520-74-6P
393520-76-8P 393520-79-1P 393520-81-5P 393520-83-7P 393520-85-9P
393520-87-1P 393520-89-3P 393520-91-7P 393520-93-9P 393520-95-1P
393520-97-3P 393520-99-5P 393521-01-2P 393521-03-4P 393521-05-6P
393521-07-8P 393521-09-0P 393521-11-4P 393521-13-6P 393521-15-8P
393521-17-0P 393521-19-2P 393521-21-6P 393521-23-8P 393521-25-0P
393521-27-2P 393521-29-4P 393521-31-8P 393521-33-0P 393521-35-2P
393521-37-4P 393521-39-6P 393521-41-0P 393521-43-2P 393521-45-4P
393521-47-6P 393521-49-8P 393521-51-2P 393521-53-4P 393521-55-6P
393521-57-8P 393521-59-0P 393521-61-4P 393521-65-8P 393521-67-0P
393521-69-2P 393521-72-7P 393521-74-9P **393521-77-2P**
393521-79-4P 393521-81-8P 393521-82-9P 393521-84-1P 393521-91-0P
393521-94-3P 393521-98-7P 393522-01-5P 393522-04-8P 393522-09-3P
393522-12-8P 393522-14-0P 393522-17-3P 393522-20-8P 393522-22-0P
393522-24-2P 393522-26-4P 393522-28-6P 393522-30-0P 393522-32-2P
393522-34-4P 393522-36-6P 393522-39-9P **393522-42-4P**
393522-44-6P 393522-46-8P 393522-48-0P
393522-50-4P 393522-52-6P 393522-55-9P 393522-59-3P 393522-62-8P
393522-65-1P 393522-68-4P 393522-71-9P 393522-74-2P 393522-76-4P
393522-79-7P 393522-81-1P 393522-84-4P 393522-87-7P 393522-90-2P
393522-93-5P 393522-96-8P 393522-99-1P 393523-02-9P 393523-04-1P
393523-06-3P 393523-09-6P **393523-12-1P 393523-15-4P**
393523-18-7P 393523-21-2P 393523-24-5P 393523-27-8P
393523-29-0P 393523-31-4P 393523-33-6P 393523-35-8P 393523-37-0P
393523-39-2P 393523-41-6P 393523-43-8P 393523-45-0P 393523-47-2P
393523-49-4P 393523-51-8P 393523-53-0P 393523-55-2P 393523-57-4P
393523-59-6P 393523-60-9P 393523-62-1P 393523-64-3P 393523-65-4P
393523-67-6P 393523-69-8P 393523-71-2P 393523-73-4P 393523-75-6P
393523-77-8P 393523-79-0P 393523-81-4P 393523-84-7P 393523-86-9P
393523-89-2P 393523-92-7P 393523-94-9P 393523-95-0P 393523-97-2P
393523-99-4P 393524-00-0P 393524-02-2P 393524-07-7P 393524-09-9P
393524-12-4P **394203-31-7P 394203-32-8P** 394203-33-9P
394203-34-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of novel peptides as NS3-serine protease inhibitors of
hepatitis C virus)

IT 91-00-9, Diphenylmethylaniline 98-79-3, L-Pyroglutamic acid 106-95-6,
Allyl bromide, reactions 109-80-8, 1,3-Propanedithiol 618-27-9
870-46-2, tert-Butyl carbazate 2746-25-0, p-Methoxybenzyl bromide
2999-46-4, Ethyl isocyanoacetate 5437-45-6, Benzyl bromoacetate
7188-38-7, tert-Butyl isocyanide 13726-69-7 53308-95-5 64187-48-0
71989-28-1 138021-87-1 166196-06-1 216378-84-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of novel peptides as NS3-serine protease inhibitors of
hepatitis C virus)

IT 16217-15-5P 35418-16-7P 58948-98-4P 63307-62-0P 76203-43-5P

91229-91-3P	113490-83-8P	116611-55-3P	127949-74-0P	132622-88-9P
132622-90-3P	132622-91-4P	132622-94-7P	143935-63-1P	150908-38-6P
153074-95-4P	160801-74-1P	160806-17-7P	163437-14-7P	176486-63-8P
185304-19-2P	189215-88-1P	189215-89-2P	189215-90-5P	224645-82-3P
224645-88-9P	237421-53-3P	244132-29-4P	273221-98-0P	276888-16-5P
276888-17-6P	276888-55-2P	276888-56-3P	393513-18-3P	393524-17-9P
393524-22-6P	393524-24-8P	393524-25-9P	393524-27-1P	393524-29-3P
393524-31-7P	393524-36-2P	393524-38-4P	393524-40-8P	393524-42-0P
393524-47-5P	393524-49-7P	393524-52-2P	393524-55-5P	393524-57-7P
393524-63-5P	393524-67-9P	393524-68-0P	393524-70-4P	393524-72-6P
393524-75-9P	393524-83-9P	393524-95-3P	393525-00-3P	393525-03-6P
393525-07-0P	393525-09-2P	393525-11-6P	393525-16-1P	393525-18-3P
393525-21-8P	393525-23-0P	393525-25-2P	393525-27-4P	393525-29-6P
393525-31-0P	393525-36-5P	393525-37-6P	393525-38-7P	393525-39-8P
393525-40-1P	393525-41-2P	393525-42-3P	393525-43-4P	393525-44-5P
393525-45-6P	394203-35-1P			

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT **393521-77-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

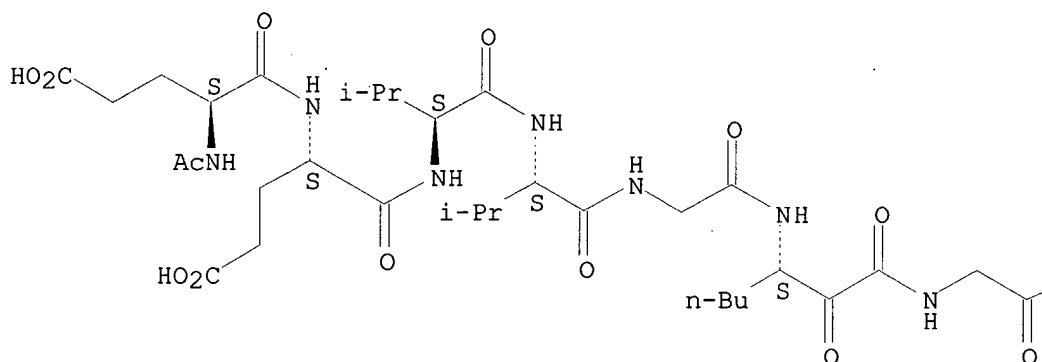
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393521-77-2 HCAPLUS

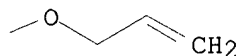
CN Glycine, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-L-valylglycyl-(3S)-3-amino-2-oxoheptanoyl-, 7-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

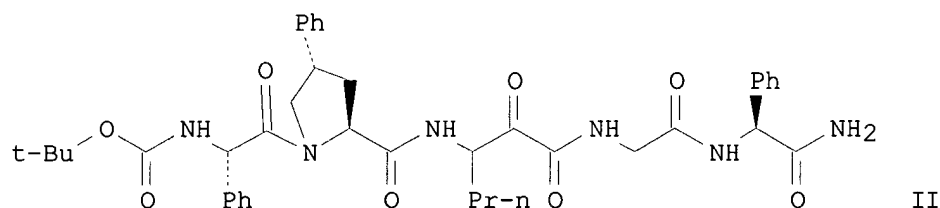
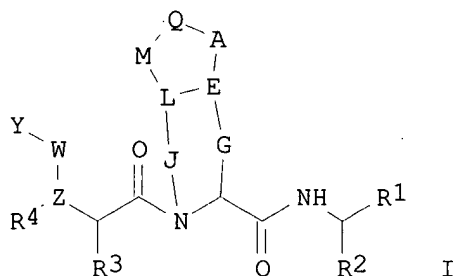


PAGE 1-B



L40 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:90062 HCAPLUS
 DN 136:167698
 TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
 IN Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil;
 Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank
 ; McCormick, Jinping L.; Wang, Haiyan; Pike,
 Russell E.; Bogen, Stephane L.; Chan, Tin-Yau;
 Liu, Yi-Tsung; Zhu, Zhaoning; Njoroge, F. George
 ; Arasappan, Ashok; Parekh, Tejal N.; Ganguly,
 Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth;
 Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam,
 Bama; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Kemp, Scott
 Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita
 ; Tamura, Susan Y.
 PA Schering Corporation, USA; Corvas International, Inc.
 SO PCT Int. Appl., 536 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07K
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7, 63
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008244	A2	20020131	WO 2001-US22678	20010719 <--
	WO 2002008244	A3	20030619		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2001076988	A5	20020205	AU 2001-76988	20010719 <--
	BR 2001012540	A	20030624	BR 2001-12540	20010719 <--
	NO 2003000272	A	20030321	NO 2003-272	20030120 <--
PRAI	US 2000-220108P	P	20000721	<--	
	WO 2001-US22678	W	20010719		
OS	MARPAT 136:167698				
GI					



AB Peptides I were prepd. wherein Y is alkyl, alkyl-aryl, heteroaryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy,, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino and heterocycloalkylamino; R1 is acyl, borate; Z is selected from O, N, CH or CR; W, Q, G, J, L, M independently maybe present or absent; W is C=O, C=S, C(=N-CN), or SO; Q is CH, N, P, alkylidene, O, amine,S, or SO; A is O, CH, alkylidene, amine, S, SO or bond; E is CH, N, alkylidene, or double bond; G is alkylidene; J is alkylidene, SO, NH, NR, O; L is CH, alkylidene, O, S or NR; M is O, NR,S, SO, alkylidene; p is 0 to 6; and R-R4 are independently selected from the group consisting of H; alkyl; alkenyl; cycloalkyl; heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halogen; (cycloalkyl)alkyl and (heterocycloalkyl)alkyl, which have HCV protease inhibitory activity as well as methods for prepg. such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders assocd. with the HCV protease. Thus peptide II was prepd. and tested as antiviral agent and NS3-serine protease inhibitors of hepatitis C virus with Ki ranges in category A = 1-100 nM; category B = 101-1,000 nM; category C > 1000 nM. Also disclosed is the use of I for the manuf. of a medicament for treating HCV, AIDS, and related disorders.

ST peptide prepn protease inhibitor hepatitis C virus antiviral AIDS

IT Anti-AIDS agents

Antiviral agents

Hepatitis C virus

```



```

IT Peptides, preparation

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

```



```

IT 149885-80-3

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 172222-30-9

RL: CAT (Catalyst use); USES (Uses)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT	394723-85-4P	394724-30-2P	394724-55-1P	394725-38-3P	394725-42-9P
	394728-50-8P	394728-53-1P	394728-54-2P	394728-56-4P	394729-80-7P
	394731-63-6P				

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT

(Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL

(Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT	394719-80-3P	394719-81-4P	394719-82-5P	394719-83-6P	394719-84-7P
	394719-85-8P	394719-86-9P	394719-87-0P	394719-88-1P	394719-89-2P
	394719-90-5P	394719-91-6P	394719-92-7P	394719-93-8P	394719-94-9P
	394719-95-0P	394719-96-1P	394719-97-2P	394719-98-3P	394719-99-4P
	394720-00-4P	394720-01-5P	394720-02-6P	394720-03-7P	394720-04-8P
	394720-05-9P	394720-06-0P	394720-07-1P	394720-08-2P	394720-09-3P
	394720-10-6P	394720-11-7P	394720-12-8P	394720-13-9P	394720-14-0P
	394720-15-1P	394720-16-2P	394720-17-3P	394720-18-4P	394720-19-5P
	394720-20-8P	394720-21-9P	394720-22-0P	394720-23-1P	394720-24-2P
	394720-25-3P	394720-26-4P	394720-27-5P	394720-28-6P	394720-29-7P
	394720-30-0P	394720-31-1P	394720-32-2P	394720-33-3P	394720-34-4P
	394720-35-5P	394720-36-6P	394720-37-7P	394720-38-8P	394720-39-9P
	394720-40-2P	394720-41-3P	394720-42-4P	394720-43-5P	394720-44-6P
	394720-45-7P	394720-46-8P	394720-47-9P	394720-49-1P	394720-50-4P
	394720-51-5P	394720-52-6P	394720-53-7P	394720-54-8P	394720-55-9P
	394720-57-1P	394720-58-2P	394720-59-3P	394720-60-6P	394720-61-7P
	394720-62-8P	394720-63-9P	394720-64-0P	394720-65-1P	394720-66-2P
	394720-67-3P	394720-68-4P	394720-69-5P	394720-70-8P	394720-71-9P
	394720-72-0P	394720-73-1P	394720-74-2P	394720-75-3P	394720-76-4P
	394720-77-5P	394720-78-6P	394720-79-7P	394720-80-0P	394720-81-1P
	394720-82-2P	394720-83-3P	394720-84-4P	394720-85-5P	394720-86-6P
	394720-87-7P	394720-88-8P	394720-89-9P	394720-90-2P	394720-91-3P
	394720-92-4P	394720-93-5P	394720-94-6P	394720-95-7P	394720-96-8P
	394720-97-9P	394720-98-0P	394720-99-1P	394721-00-7P	394721-01-8P
	394721-02-9P	394721-03-0P	394721-04-1P	394721-05-2P	394721-06-3P
	394721-07-4P	394721-08-5P	394721-09-6P	394721-10-9P	394721-11-0P
	394721-12-1P	394721-13-2P	394721-14-3P	394721-15-4P	394721-16-5P
	394721-17-6P	394721-18-7P	394721-19-8P	394721-20-1P	394721-21-2P
	394721-22-3P	394721-23-4P	394721-24-5P	394721-25-6P	394721-26-7P
	394721-27-8P	394721-28-9DP,	dimer derivs.	394721-29-0P	394721-30-3P
	394721-31-4P	394721-32-5P	394721-33-6P	394721-34-7P	394721-35-8P
	394721-36-9P	394721-37-0P	394721-38-1P	394721-39-2P	394721-40-5P
	394721-42-7P	394721-43-8P	394721-44-9P	394721-45-0P	394721-46-1P
	394721-47-2P	394721-48-3P	394721-49-4P	394721-50-7P	394721-51-8P
	394721-52-9P	394721-53-0P	394721-54-1P	394721-55-2P	394721-56-3P
	394721-57-4P	394721-58-5P	394721-59-6P	394721-60-9P	394721-61-0P
	394721-62-1P	394721-63-2P	394721-64-3P	394721-65-4P	394721-66-5P
	394721-67-6P	394721-68-7P	394721-69-8P	394721-70-1P	394721-71-2P
	394721-72-3P	394721-73-4P	394721-74-5P	394721-76-7P	394721-78-9P
	394721-80-3P	394721-82-5P	394721-83-6P	394721-84-7P	394721-85-8P
	394721-86-9P	394721-87-0P	394721-88-1P	394721-89-2P	394721-90-5P
	394721-91-6P	394721-92-7P	394721-93-8P	394721-94-9P	394721-95-0P
	394721-96-1P	394721-97-2P	394721-98-3P	394721-99-4P	394722-00-0P
	394722-01-1P	394722-02-2P	394722-03-3P	394722-04-4P	394722-05-5P
	394722-06-6P	394722-07-7P	394722-08-8P	394722-09-9P	394722-10-2P
	394722-11-3P	394722-12-4P	394722-13-5P	394722-14-6P	394722-15-7P
	394722-16-8P	394722-17-9P	394722-18-0P	394722-19-1P	394722-20-4P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C

	virus)				
IT	394722-21-5P	394722-22-6P	394722-23-7P	394722-24-8P	394722-25-9P
	394722-26-0P	394722-28-2P	394722-30-6P	394722-32-8P	394722-34-0P
	394722-36-2P	394722-39-5P	394722-41-9P	394722-43-1P	394722-45-3P
	394722-47-5P	394722-58-8P	394722-60-2P	394722-63-5P	394722-65-7P
	394722-66-8P	394722-67-9P	394722-68-0P	394722-69-1P	394722-70-4P
	394722-71-5P	394722-72-6P	394722-73-7P	394722-74-8P	394722-75-9P
	394722-76-0P	394722-77-1P	394722-78-2P	394722-79-3P	394722-80-6P
	394722-81-7P	394722-82-8P	394722-83-9P	394722-84-0P	394722-85-1P
	394722-86-2P	394722-87-3P	394722-88-4P	394722-89-5P	394722-90-8P
	394722-91-9P	394722-92-0P	394722-93-1P	394722-94-2P	394722-95-3P
	394722-96-4P	394722-97-5P	394722-98-6P	394722-99-7P	394723-00-3P
	394723-01-4P	394723-02-5P	394723-03-6P	394723-04-7P	394723-05-8P
	394723-06-9P	394723-07-0P	394723-08-1P	394723-09-2P	394723-10-5P
	394723-11-6P	394723-12-7P	394723-13-8P	394723-14-9P	394723-15-0P
	394723-16-1P	394723-17-2P	394723-18-3P	394723-19-4P	394723-20-7P
	394723-21-8P	394723-22-9P	394723-23-0P	394723-24-1P	394723-25-2P
	394723-26-3P	394723-27-4P	394723-28-5P	394723-29-6P	394723-30-9P
	394723-31-0P	394723-32-1P	394723-33-2P	394723-34-3P	394723-35-4P
	394723-36-5P	394723-37-6P	394723-38-7P	394723-39-8P	394723-40-1P
	394723-41-2P	394723-42-3P	394723-43-4P	394723-44-5P	394723-45-6P
	394723-46-7P	394723-47-8P	394723-48-9P	394723-49-0P	394723-50-3P
	394723-51-4P	394723-52-5P	394723-53-6P	394723-54-7P	394723-55-8P
	394723-56-9P	394723-57-0P	394723-58-1P	394723-59-2P	394723-60-5P
	394723-61-6P	394723-62-7P	394723-63-8P	394723-64-9P	394723-64-9P
	394723-65-0P	394723-66-1P	394723-67-2P	394723-68-3P	394723-69-4P
	394723-70-7P	394723-73-0P	394723-74-1P	394723-75-2P	394723-76-3P
	394723-77-4P	394723-78-5P	394723-79-6P	394723-80-9P	394723-81-0P
	394723-82-1P	394723-83-2P	394723-84-3P	394723-86-5P	394723-87-6P
	394723-88-7P	394723-89-8P	394723-90-1P	394723-91-2P	394723-92-3P
	394723-93-4P	394723-94-5P	394723-95-6P	394723-96-7P	394723-97-8P
	394723-98-9P	394723-99-0P	394724-00-6P	394724-01-7P	394724-02-8P
	394724-03-9P	394724-04-0P	394724-05-1P	394724-06-2P	394724-07-3P
	394724-08-4P	394724-09-5P	394724-10-8P	394724-11-9P	394724-12-0P
	394724-13-1P	394724-14-2P	394724-15-3P	394724-16-4P	394724-17-5P
	394724-18-6P	394724-19-7P	394724-20-0P	394724-21-1P	
	394724-22-2P	394724-23-3P	394724-24-4P	394724-25-5P	
	394724-26-6P	394724-27-7P	394724-28-8P	394724-29-9P	394724-31-3P
	394724-32-4P	394724-33-5P	394724-34-6P	394724-35-7P	394724-36-8P
	394724-37-9P	394724-38-0P	394724-39-1P	394724-40-4P	394724-41-5P
	394724-42-6P	394724-43-7P	394724-44-8P	394724-45-9P	394724-46-0P
	394724-47-1P	394724-48-2P	394724-49-3P	394724-50-6P	394724-51-7P
	394724-52-8P	394724-53-9P	394724-54-0P	394724-56-2P	394724-57-3P
	394724-58-4P	394724-59-5P	394724-60-8P	394724-61-9P	394724-62-0P
	394724-63-1P	394724-64-2P	394724-65-3P	394724-66-4P	394724-70-0P
	394724-72-2P	394724-74-4P	394724-75-5P	394724-78-8P	394724-80-2P
	394724-81-3P	394724-82-4P	394724-83-5P	394724-84-6P	394724-85-7P
	394724-86-8P	394724-87-9P	394724-88-0P	394724-89-1P	394724-90-4P
	394724-91-5P				

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT	394724-92-6P	394724-93-7P	394724-94-8P	394724-95-9P	394724-96-0P
	394724-97-1P	394724-98-2P	394724-99-3P	394725-00-9P	394725-01-0P
	394725-02-1P	394725-03-2P	394725-04-3P	394725-05-4P	394725-06-5P
	394725-07-6P	394725-08-7P	394725-09-8P	394725-10-1P	394725-11-2P
	394725-12-3P	394725-13-4P	394725-16-7P	394725-18-9P	394725-20-3P
	394725-21-4P	394725-22-5P	394725-23-6P	394725-24-7P	394725-25-8P
	394725-26-9P	394725-27-0P	394725-28-1P	394725-29-2P	394725-30-5P
	394725-31-6P	394725-32-7P	394725-33-8P	394725-34-9P	394725-35-0P
	394725-36-1P	394725-37-2P	394725-39-4P	394725-40-7P	394725-41-8P

394725-43-0P	394725-44-1P	394725-45-2P	394725-46-3P	394725-47-4P
394725-48-5P	394725-49-6P	394725-50-9P	394725-51-0P	394725-52-1P
394725-53-2P	394725-54-3P	394725-55-4P	394725-56-5P	394725-57-6P
394725-58-7P	394725-59-8P	394725-60-1P	394725-61-2P	394725-62-3P
394725-63-4P	394725-64-5P	394725-65-6P	394725-70-3P	394725-73-6P
394725-76-9P	394725-79-2P	394725-82-7P	394725-85-0P	394725-86-1P
394725-87-2P	394725-88-3P	394725-89-4P	394725-90-7P	394725-91-8P
394725-92-9P	394725-93-0P	394725-94-1P	394725-95-2P	394725-96-3P
394725-97-4P	394725-98-5P	394725-99-6P	394726-00-2P	394726-01-3P
394726-02-4P	394726-03-5P	394726-04-6P	394726-05-7P	394726-06-8P
394726-07-9P	394726-08-0P	394726-09-1P	394726-10-4P	394726-11-5P
394726-12-6P	394726-13-7P	394726-14-8P	394726-15-9P	394726-16-0P
394726-17-1P	394726-18-2P	394726-19-3P	394726-20-6P	394726-21-7P
394726-22-8P	394726-23-9P	394726-24-0P	394726-25-1P	394726-26-2P
394726-27-3P	394726-28-4P	394726-29-5P	394726-30-8P	394726-31-9P
394726-32-0P	394726-33-1P	394726-34-2P	394726-35-3P	394726-36-4P
394726-37-5P	394726-38-6P	394726-39-7P	394726-40-0P	394726-41-1P
394726-42-2P	394726-43-3P	394726-44-4P	394726-45-5P	394726-46-6P
394726-47-7P	394726-48-8P	394726-49-9P	394726-50-2P	394726-51-3P
394726-52-4P	394726-53-5P	394726-54-6P	394726-55-7P	394726-56-8P
394726-57-9P	394726-58-0P	394726-59-1P	394726-60-4P	394726-61-5P
394726-62-6P	394726-63-7P	394726-64-8P	394726-65-9P	394726-66-0P
394726-67-1P	394726-68-2P	394726-69-3P	394726-70-6P	394726-71-7P
394726-72-8P	394726-73-9P	394726-74-0P	394726-75-1P	394726-76-2P
394726-77-3P	394726-78-4P	394726-79-5P	394726-80-8P	394726-81-9P
394726-82-0P	394726-83-1P	394726-84-2P	394726-85-3P	394726-86-4P
394726-87-5P	394726-88-6P	394726-89-7P	394726-90-0P	394726-91-1P
394726-92-2P	394726-93-3P	394726-94-4P	394726-95-5P	394726-96-6P
394726-97-7P	394726-98-8P	394726-99-9P	394727-00-5P	394727-01-6P
394727-02-7P	394727-03-8P	394727-04-9P	394727-05-0P	394727-06-1P
394727-07-2P	394727-08-3P	394727-09-4P	394727-10-7P	394727-11-8P
394727-12-9P	394727-13-0P	394727-14-1P	394727-15-2P	394727-16-3P
394727-17-4P	394727-18-5P	394727-19-6P	394727-20-9P	394727-21-0P
394727-22-1P	394727-23-2P	394727-24-3P	394727-25-4P	394727-26-5P
394727-27-6P	394727-28-7P	394727-29-8P	394727-30-1P	394727-31-2P
394727-33-4P	394727-34-5P	394727-35-6P	394727-36-7P	394727-37-8P
394727-38-9P	394727-39-0P	394727-40-3P	394727-41-4P	394727-42-5P
394727-43-6P	394727-44-7P	394727-45-8P	394727-46-9P	

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT	394727-47-0P	394727-48-1P	394727-49-2P	394727-50-5P	394727-51-6P
	394727-52-7P	394727-53-8P	394727-54-9P	394727-55-0P	394727-56-1P
	394727-57-2P	394727-58-3P	394727-59-4P	394727-60-7P	394727-61-8P
	394727-62-9P	394727-63-0P	394727-64-1P	394727-65-2P	394727-66-3P
	394727-67-4P	394727-68-5P	394727-69-6P	394727-70-9P	394727-71-0P
	394727-73-2P	394727-74-3P	394727-75-4P	394727-76-5P	394727-77-6P
	394727-78-7P	394727-79-8P	394727-80-1P	394727-81-2P	394727-82-3P
	394727-83-4P	394727-84-5P	394727-85-6P	394727-86-7P	394727-87-8P
	394727-88-9P	394727-89-0P	394727-90-3P	394727-91-4P	394727-92-5P
	394727-93-6P	394727-94-7P	394727-95-8P	394727-96-9P	394727-97-0P
	394727-98-1P	394727-99-2P	394728-00-8P	394728-01-9P	394728-02-0P
	394728-03-1P	394728-04-2P	394728-05-3P	394728-06-4P	394728-07-5P
	394728-08-6P	394728-09-7P	394728-10-0P	394728-11-1P	394728-12-2P
	394728-14-4P	394728-15-5P	394728-17-7P	394728-20-2P	394728-26-8P
	394728-28-0P	394728-30-4P	394728-32-6P	394728-34-8P	394728-35-9P
	394728-36-0P	394728-37-1P	394728-38-2P	394728-39-3P	394728-41-7P
	394728-42-8P	394728-43-9P	394728-44-0P	394728-45-1P	394728-46-2P
	394728-47-3P	394728-48-4P	394728-55-3P	394728-57-5P	394728-58-6P
	394728-59-7P	394728-60-0P	394728-61-1P	394728-62-2P	394728-63-3P
	394728-64-4P	394728-65-5P	394728-66-6P	394728-67-7P	394728-68-8P

394728-69-9P	394728-70-2P	394728-71-3P	394728-72-4P	394728-73-5P
394728-74-6P	394728-75-7P	394728-77-9P	394728-78-0P	394728-79-1P
394728-80-4P	394728-81-5P	394728-82-6P	394728-83-7P	394728-84-8P
394728-85-9P	394728-86-0P	394728-87-1P	394728-88-2P	394728-89-3P
394728-90-6P	394728-91-7P	394728-92-8P	394728-93-9P	394728-94-0P
394728-95-1P	394728-96-2P	394728-97-3P	394728-98-4P	394728-99-5P
394729-00-1P	394729-01-2P	394729-02-3P	394729-03-4P	394729-04-5P
394729-05-6P	394729-06-7P	394729-07-8P	394729-08-9P	394729-09-0P
394729-10-3P	394729-11-4P	394729-12-5P	394729-13-6P	394729-14-7P
394729-15-8P	394729-16-9P	394729-18-1P	394729-19-2P	394729-20-5P
394729-21-6P	394729-22-7P	394729-23-8P	394729-24-9P	394729-25-0P
394729-26-1P	394729-27-2P	394729-28-3P	394729-29-4P	394729-30-7P
394729-31-8P	394729-32-9P	394729-33-0P	394729-34-1P	394729-35-2P
394729-36-3P	394729-37-4P	394729-38-5P	394729-39-6P	394729-40-9P
394729-41-0P	394729-42-1P	394729-43-2P	394729-44-3P	394729-45-4P
394729-46-5P	394729-47-6P	394729-48-7P	394729-49-8P	394729-50-1P
394729-51-2P	394729-52-3P	394729-55-6P	394729-58-9P	394729-64-7P
394729-65-8P	394729-66-9P	394729-67-0P	394729-69-2P	394729-71-6P
394729-73-8P	394729-75-0P	394729-77-2P	394729-81-8P	394729-82-9P
394729-83-0P	394729-84-1P	394729-85-2P	394729-86-3P	394729-87-4P
394729-88-5P	394729-89-6P	394730-38-2P	394730-39-3P	394730-40-6P
394730-41-7P	394730-42-8P	394730-43-9P	394730-44-0P	394730-45-1P
394730-46-2P	394730-47-3P	394730-48-4P	394730-49-5P	394730-50-8P
394730-51-9P	394730-52-0P	394730-53-1P	394730-54-2P	394730-55-3P
394730-56-4P	394730-57-5P	394730-58-6P	394730-59-7P	394730-60-0P
394730-61-1P	394730-62-2P	394730-63-3P	394730-64-4P	394730-65-5P
394730-66-6P	394730-67-7P	394730-68-8P	394730-69-9P	

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT	394730-75-7P	394730-79-1P	394730-80-4P	394730-81-5P	394730-82-6P
	394730-83-7P	394730-84-8P	394730-85-9P	394730-86-0P	394730-87-1P
	394730-88-2P	394730-89-3P	394730-90-6P	394730-91-7P	394730-92-8P
	394730-94-0P	394730-95-1P	394730-96-2P	394730-96-2P	394730-97-3P
	394730-97-3P	394731-65-8P	394731-67-0P	394731-69-2P	394731-71-6P
	394731-74-9P	394731-75-0P	394731-76-1P	394731-77-2P	394731-79-4P
	394731-81-8P	394731-83-0P	394731-85-2P	394731-87-4P	394731-89-6P
	394731-91-0P	394731-93-2P	394731-95-4P	394731-97-6P	394731-99-8P
	394732-01-5P	394732-03-7P	394732-05-9P	394732-07-1P	394732-09-3P
	394732-11-7P	394732-13-9P	394732-15-1P	394732-17-3P	394732-19-5P
	394732-21-9P	394732-23-1P	394732-25-3P	394732-27-5P	394732-29-7P
	394732-31-1P	394732-33-3P	394732-35-5P	394732-37-7P	394732-39-9P
	394732-41-3P	394732-43-5P	394732-45-7P	394732-47-9P	394732-49-1P
	394732-51-5P	394732-53-7P	394732-55-9P	394732-57-1P	394732-58-2P
	394732-59-3P	394732-60-6P	394732-61-7P	394732-62-8P	394732-63-9P
	394732-64-0P	394732-65-1P	394732-66-2P	394732-67-3P	394732-68-4P
	394732-69-5P	394732-70-8P	394732-71-9P	394732-72-0P	394732-73-1P
	394732-74-2P	394732-75-3P	394732-76-4P	394732-77-5P	394732-78-6P
	394732-79-7P	394732-80-0P	394732-81-1P	394732-82-2P	394732-83-3P
	394732-84-4P	394732-85-5P	394732-86-6P	394732-87-7P	394732-88-8P
	394732-89-9P	394732-90-2P	394732-91-3P	394732-92-4P	394732-93-5P
	394732-94-6P	394732-95-7P	394732-96-8P	394732-97-9P	394732-98-0P
	394733-99-1P	394733-00-7P	394733-01-8P	394733-05-2P	394733-06-3P
	394733-07-4P	394733-09-6P	394733-10-9P	394733-12-1P	394733-13-2P
	394733-15-4P	394733-17-6P	394733-19-8P	394733-20-1P	394733-22-3P
	394733-23-4P	394733-24-5P	394733-25-6P	394733-26-7P	394733-27-8P
	394733-28-9P	394733-29-0P	394733-30-3P	394733-31-4P	394733-32-5P
	394733-33-6P	394733-34-7P	394733-35-8P	394733-36-9P	394733-37-0P
	394733-38-1P	394733-39-2P	394733-40-5P	394733-41-6P	394733-42-7P
	394733-43-8P	394733-44-9P	394733-45-0P	394733-46-1P	394733-47-2P
	394733-48-3P	394733-49-4P	394733-50-7P	394733-51-8P	394733-52-9P

394733-53-0P	394733-54-1P	394733-55-2P	394733-56-3P	394733-57-4P
394733-58-5P	394733-59-6P	394733-60-9P	394733-61-0P	394733-62-1P
394733-63-2P	394733-64-3P	394733-65-4P	394733-66-5P	394733-67-6P
394733-68-7P	394733-69-8P	394733-70-1P	394733-71-2P	394733-72-3P
394733-73-4P	394733-74-5P	394733-75-6P	394733-76-7P	394733-77-8P
394733-78-9P	394733-79-0P	394733-80-3P	394733-81-4P	394733-82-5P
394733-83-6P	394733-84-7P	394733-85-8P	394733-86-9P	394733-87-0P
394733-88-1P	394733-89-2P	394733-90-5P	394733-91-6P	394733-92-7P
394733-93-8P	394733-94-9P	394733-95-0P	394733-96-1P	394733-97-2P
394733-98-3P	394733-99-4P	394734-00-0P	394734-01-1P	394734-02-2P
394734-03-3P	394734-04-4P	394734-05-5P	394734-06-6P	394734-07-7P
394734-08-8P	394734-09-9P	394734-10-2P	394734-11-3P	394734-12-4P
394734-13-5P	394734-14-6P	394734-15-7P	394734-16-8P	394734-17-9P
394734-18-0P	394734-19-1P	394734-20-4P	394734-21-5P	394734-23-7P
394734-25-9P	394734-27-1P	394734-29-3P	394734-31-7P	394734-33-9P
394734-34-0P	394734-35-1P	394734-36-2P	394734-37-3P	

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT	394734-38-4P	394734-39-5P	394734-40-8P	394734-41-9P	394734-42-0P
	394734-43-1P	394734-44-2P	394734-45-3P	394734-46-4P	394734-47-5P
	394734-48-6P	394734-49-7P	394734-50-0P	394734-51-1P	394734-52-2P
	394734-53-3P	394734-54-4P	394734-55-5P	394734-56-6P	394734-57-7P
	394734-58-8P	394734-59-9P	394734-60-2P	394734-61-3P	394734-62-4P
	394734-63-5P	394734-64-6P	394734-65-7P	394734-66-8P	394734-67-9P
	394734-68-0P	394734-69-1P	394734-70-4P	394734-71-5P	394734-72-6P
	394734-73-7P	394734-74-8P	394734-75-9P	394734-76-0P	394740-16-0P
	394740-17-1P	394740-18-2P	395642-58-7P	395642-59-8P	395642-75-8P
	395643-09-1P	395643-10-4P	395643-13-7P	395643-14-8P	395643-26-2P
	395643-27-3P	395643-44-4P	395643-45-5P	395643-46-6P	395643-47-7P
	395643-48-8P	395643-49-9P	395643-53-5P	395643-72-8P	395643-73-9P
	395643-81-9P	395643-82-0P	395643-83-1P	395643-86-4P	395643-87-5P
	395643-88-6P	395643-99-9P	395644-00-5P	395644-01-6P	395644-03-8P
	395644-07-2P	395644-08-3P	395644-09-4P	395644-10-7P	395644-11-8P
	395644-12-9P	395644-13-0P	395644-14-1P	395644-16-3P	395644-20-9P
	395644-28-7P	395644-30-1P	395644-31-2P	395644-32-3P	395644-33-4P
	395644-34-5P	395644-35-6P	395644-36-7P	395644-37-8P	395644-38-9P
	395644-39-0P	395644-40-3P	395644-41-4P	395644-55-0P	395644-56-1P
	395644-57-2P	395644-58-3P	395644-59-4P	395644-61-8P	395644-62-9P
	395644-63-0P	395644-64-1P	395644-65-2P	395644-66-3P	395644-67-4P
	395644-68-5P	395644-69-6P	395644-70-9P	395644-71-0P	395644-72-1P
	395644-73-2P	395644-74-3P	395644-75-4P	395644-76-5P	395644-77-6P
	395644-80-1P	395644-92-5P	395644-93-6P	395644-94-7P	395644-95-8P
	395644-98-1P	395644-99-2P	395645-00-8P	395645-10-0P	395645-14-4P
	395645-29-1P	395645-31-5P	395645-32-6P	395645-54-2P	395645-55-3P
	395645-65-5P	395645-66-6P	395645-67-7P	395645-68-8P	395645-72-4P
	395645-87-1P	395645-88-2P	395645-89-3P	395645-90-6P	395645-92-8P
	395645-93-9P	395645-95-1P	395645-96-2P	395646-02-3P	395646-09-0P
	395646-10-3P	395646-11-4P	395646-15-8P	395646-16-9P	395646-23-8P
	395646-24-9P	395646-26-1P	395647-37-7P	395647-42-4P	395647-44-6P
	395647-45-7P	395647-46-8P	395647-57-1P	395647-62-8P	395647-63-9P
	395647-64-0P	395647-65-1P	395647-66-2P	395647-67-3P	395647-68-4P
	395647-69-5P	395647-71-9P	395647-75-3P	395647-77-5P	395647-78-6P
	395647-79-7P	395647-85-5P	395648-09-6P	395648-50-7P	395648-57-4P
	395648-58-5P	395648-60-9P	395648-63-2P	395648-65-4P	395648-67-6P
	395648-69-8P	395648-71-2P	395648-73-4P	395648-75-6P	395648-77-8P
	395648-79-0P	395648-87-0P	395648-94-9P	395648-96-1P	395648-98-3P
	395649-02-2P	395649-04-4P	395649-05-5P	395649-07-7P	395649-09-9P
	395649-10-2P	395649-11-3P	395649-12-4P	395649-13-5P	395649-14-6P
	395649-15-7P	395649-16-8P	395649-17-9P	395649-18-0P	395649-19-1P
	395649-20-4P	395649-21-5P	395649-22-6P	395649-24-8P	395649-25-9P

395649-26-0P	395649-27-1P	395649-28-2P	395649-29-3P	395649-30-6P
395649-31-7P	395649-32-8P	395649-33-9P	395649-34-0P	395649-35-1P
395649-36-2P	395649-37-3P	395649-38-4P	395649-39-5P	395649-40-8P
395649-59-9P	395649-60-2P	395649-61-3P	395649-62-4P	395649-64-6P
395649-65-7P	395649-92-0P	395649-93-1P	395649-94-2P	

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT	395649-96-4P	395649-97-5P	395649-99-7P	395650-09-6P	395650-15-4P
	395650-17-6P	395650-19-8P	395650-21-2P	395650-25-6P	395650-27-8P
	395650-30-3P	395650-31-4P	395650-32-5P	395650-34-7P	395650-36-9P
	395650-42-7P	395650-44-9P	395650-45-0P	395650-46-1P	395650-47-2P
	395650-48-3P	395650-49-4P	395650-50-7P	395650-51-8P	395650-52-9P
	395650-53-0P	395650-54-1P	395650-55-2P	395650-56-3P	395650-57-4P
	395650-66-5P	395650-69-8P	395650-71-2P	395650-75-6P	395650-76-7P
	395650-77-8P	395650-78-9P	395650-84-7P	395650-86-9P	395650-97-2P
	395650-99-4P	395651-09-9P	395651-16-8P	395651-17-9P	395651-18-0P
	395651-26-0P	395651-27-1P	395651-66-8P	395651-71-5P	395651-72-6P
	395651-75-9P	395651-78-2P	395651-79-3P	395651-80-6P	395651-81-7P
	395651-82-8P	395651-84-0P	395651-85-1P	395651-86-2P	395651-89-5P
	395651-90-8P	395651-91-9P	395651-92-0P	395651-93-1P	395651-94-2P
	395651-95-3P	395651-96-4P	395651-97-5P	395651-98-6P	395651-99-7P
	395652-00-3P	395652-01-4P	395652-02-5P	395652-03-6P	395652-06-9P
	395652-08-1P	395652-09-2P	395652-10-5P	395652-11-6P	395652-12-7P
	395652-13-8P	395652-14-9P	395652-15-0P	395652-16-1P	395652-17-2P
	395652-19-4P	395652-20-7P	395652-22-9P	395652-23-0P	395652-24-1P
	395652-25-2P	395652-26-3P	395652-48-9P	395652-60-5P	395652-61-6P
	395652-63-8P	395652-76-3P	395652-79-6P	395652-80-9P	395652-82-1P
	395652-83-2P	395652-84-3P	395652-85-4P	395652-86-5P	395652-87-6P
	395652-88-7P	395652-89-8P	395652-90-1P	395652-91-2P	395652-92-3P
	395652-93-4P	395652-94-5P	395652-95-6P	395656-02-7P	395656-03-8P
	395656-04-9P	395656-05-0P	395656-06-1P	395656-07-2P	395656-21-0P
	395656-49-2P	395656-62-9P	395656-74-3P	395656-84-5P	395656-89-0P
	395656-90-3P	395656-92-5P	395656-94-7P	395656-95-8P	395656-96-9P
	395656-97-0P	395656-98-1P	395657-00-8P	395657-01-9P	395657-05-3P
	395657-06-4P	395657-07-5P	395657-08-6P	395657-09-7P	395657-16-6P
	395657-17-7P	395657-18-8P	395657-19-9P	395657-20-2P	395657-21-3P
	395657-22-4P	395657-24-6P	395657-26-8P	395657-27-9P	395657-28-0P
	395657-29-1P	395657-30-4P	395657-32-6P	395657-42-8P	395657-52-0P
	395657-57-5P	395657-62-2P	395657-67-7P	395657-69-9P	395657-73-5P
	395657-78-0P	395658-19-2P	395658-32-9P	395658-46-5P	395659-36-6P
	395660-14-7P	395660-15-8P	395660-16-9P	395660-17-0P	395660-18-1P
	395660-19-2P	395660-20-5P	395660-21-6P	395660-23-8P	395660-24-9P
	395660-25-0P	395660-29-4P	395660-32-9P	395660-38-5P	395660-42-1P
	395660-49-8P	395660-54-5P	395660-64-7P	395660-69-2P	395660-70-5P
	395660-71-6P	395660-72-7P	395660-73-8P	395660-74-9P	395660-75-0P
	395660-77-2P	395660-78-3P	395660-79-4P	395660-84-1P	395660-85-2P
	395661-09-3P	395661-10-6P	395661-11-7P	395661-12-8P	395661-13-9P
	395661-14-0P	395661-24-2P	395661-25-3P	395661-26-4P	395661-27-5P
	395661-28-6P	395661-29-7P	395661-30-0P	395661-31-1P	395661-32-2P
	395661-33-3P	395661-34-4P	395661-35-5P	395661-36-6P	395661-37-7P
	395661-38-8P	395661-39-9P	395661-40-2P	395661-41-3P	395661-42-4P
	395661-44-6P	395661-45-7P	395661-46-8P	395661-48-0P	395661-49-1P
	395661-50-4P	395661-52-6P	395661-53-7P	395661-55-9P	395661-61-7P
	395661-67-3P	395661-69-5P	395661-70-8P	395661-78-6P	

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT	395661-79-7P	395661-80-0P	395661-81-1P	395661-82-2P	395661-84-4P
----	--------------	--------------	--------------	--------------	--------------

395661-86-6P 395661-87-7P 395661-88-8P 395661-89-9P 395661-90-2P
 395661-91-3P 395661-92-4P 395661-94-6P 395662-04-1P 395662-06-3P
 395662-07-4P 395662-08-5P 395662-09-6P 395662-10-9P 395662-11-0P
 395662-12-1P 395662-13-2P 395662-14-3P 395662-16-5P 395662-32-5P
 395662-43-8P 395677-05-1P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 4255-62-3P 6140-64-3P 6485-52-5DP, polymer support 14064-13-2P
 16217-15-5P 51656-91-8P 58521-45-2P 58948-98-4P 62141-26-8P
 64416-07-5P 64835-38-7P 76203-43-5P 109523-16-2P 113490-83-8P
 116611-55-3P 132622-90-3P 132622-91-4P 132622-94-7P 134136-04-2P,
 1,4-Dioxaspiro[4.5]decane-8-acetic acid 144345-38-0P 146803-43-2P
 149865-91-8P 150908-38-6P 151275-26-2P 160801-74-1P 185304-19-2P
 206119-92-8P 212970-87-1P 219753-99-8P 273221-98-0P 276888-16-5P
 276888-17-6P 276888-38-1P 276888-55-2P 276888-56-3P 299207-24-2P
 367258-43-3P 367258-44-4P 367258-45-5P 367258-46-6P 367258-47-7P
 367259-26-5P 367259-52-7P 367260-51-3P 393524-36-2P 393524-38-4P
 393524-40-8P 393524-83-9P 393524-95-3P 393525-16-1P 393581-24-3P
 393581-65-2P 393581-67-4P 393581-68-5P 393581-70-9P 393581-71-0P
 394731-21-6P 394731-23-8P 394731-25-0P 394731-27-2P 394731-32-9P
 394731-40-9P 394731-43-2P 394731-45-4P 394731-47-6P 394731-49-8P
 394731-51-2P 394734-77-1P 394734-78-2P 394734-79-3P 394734-80-6P
 394734-81-7P 394734-82-8P 394734-83-9P 394734-84-0P 394734-85-1P
 394734-86-2P 394734-88-4P 394734-89-5P 394734-90-8P 394734-92-0P
 394734-93-1P 394734-94-2P 394734-95-3P 394734-96-4P 394734-97-5P
 394734-98-6P 394734-99-7P 394735-01-4P 394735-02-5P 394735-03-6P
 394735-04-7P 394735-05-8P 394735-06-9P 394735-07-0P 394735-11-6DP,
 polymer support 394735-12-7DP, polymer support 394735-13-8DP, polymer
 support 394735-14-9DP, polymer support 394735-15-0DP, polymer support
 394735-16-1DP, polymer support 394735-16-1P 394735-17-2P
 394735-18-3P 394735-19-4P 394735-20-7P 394735-21-8P 394735-22-9P
 394735-23-0P 394735-24-1P 394735-25-2P 394735-26-3P 394735-27-4P
 394735-28-5P 394735-29-6P 394735-30-9P 394735-31-0P 394735-32-1P
 394735-33-2P 394735-34-3P 394735-35-4P 394735-36-5P 394735-39-8P
 394735-41-2P 394735-42-3P 394735-44-5P 394735-45-6P 394735-46-7P
 394735-47-8P 394735-48-9P 394735-49-0P 394735-50-3P 394735-51-4P
 394735-52-5P 394735-53-6P 394735-54-7P 394735-55-8P 394735-56-9P
 394735-57-0P 394735-58-1P 394735-59-2P 394735-60-5P 394735-61-6P
 394735-63-8P 394735-65-0P 394735-67-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 91-00-9 120-14-9 126-81-8 507-52-8 543-27-1 617-94-7 618-27-9
 867-13-0 870-46-2, tert-Butylcarbazate 1073-13-8 1123-25-7
 2462-31-9 2900-27-8 2999-46-4 4378-10-3 4746-97-8,
 1,4-Dioxaspiro[4.5]decan-8-one 14328-51-9 29022-11-5 35661-40-6
 51154-06-4 53308-95-5 53934-78-4 53990-33-3 62147-27-7
 62965-35-9 64187-48-0 69555-14-2 74124-79-1 82010-31-9
 82911-69-1 90719-32-7 91229-91-3 96314-29-3 109183-71-3
 126402-89-9 134107-65-6 135112-28-6 148893-10-1, Hatu 150908-39-7
 166196-06-1 191611-20-8 394734-87-3 394734-91-9 394735-00-3
 394735-08-1 394735-37-6 394735-38-7 394735-40-1 394735-43-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

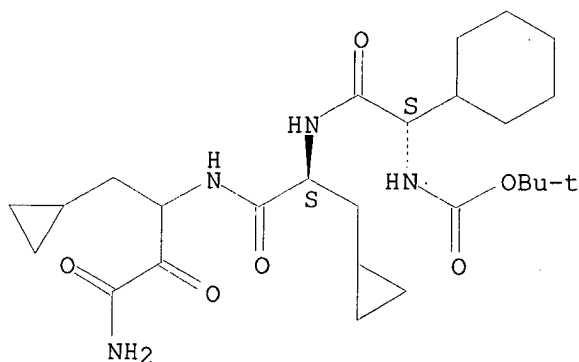
IT 393581-72-1P 393582-00-8P 394735-69-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

virus)
 IT **394724-22-2P**
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)
 RN 394724-22-2 HCAPLUS
 CN L-Alaninamide, (2S)-2-cyclohexyl-N-[(1,1-dimethylethoxy)carbonyl]glycyl-N-[3-amino-1-(cyclopropylmethyl)-2,3-dioxopropyl]-3-cyclopropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:90007 HCAPLUS
 DN 136:151439
 TI Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus
 IN Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Bogen, Stephane L.; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Liu, Yi-Tsung; Chan, Tin-Yau; Zhu, Zhaoning; Arasappan, Ashok; Chen, Kevin X.; Venkatraman, Srikanth; Parekh, Tejal N.; Pinto, Patrick A.; Santhanam, Bama; Njoroge, F. George; Ganguly, Ashit K.; Vaccaro, Henry A.; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.
 PA Schering Corporation, USA; Corvas International, Inc.
 SO PCT Int. Appl., 188 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D209-02
 ICS C07D211-04; C07D233-56; C07D317-10; C07D319-04; C07D339-02; C07D339-08; C07C229-00; C07C233-05; C07C271-08; C07C271-32; A61K031-16; A61K031-27; A61K031-195; A61K031-357; A61K031-385; A61K031-403; A61K031-445; A61K031-4164
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7, 63
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008187	A1	20020131	WO 2001-US22813	20010719
	WO 2002008187	C2	20030103		

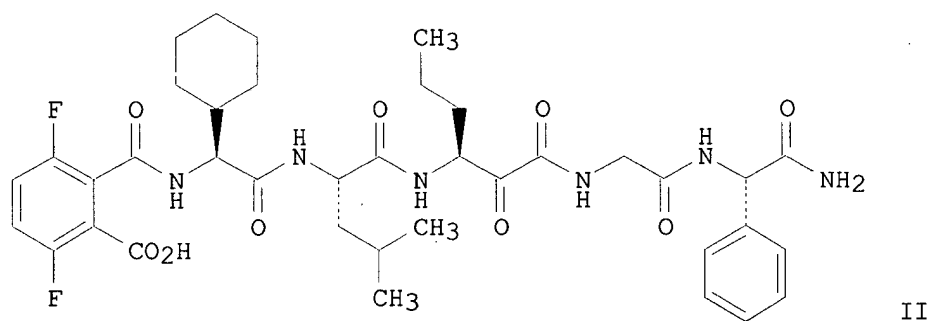
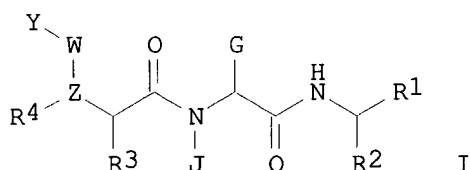
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

WO 2001-US22813 W 20010719

GI



IT Antiviral agents

(pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Hepatitis C virus
(treatment; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(.alpha., pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 36791-04-5, Ribavirin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compn. component)

IT 149885-80-3, NS3 protease
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 393580-04-6P 393580-05-7P 393580-06-8P
393580-07-9P 393580-08-0P 393580-09-1P
393580-10-4P 393580-11-5P 393580-12-6P
393580-13-7P 393580-14-8P 393580-15-9P
393580-16-0P 393580-17-1P 393580-18-2P
393580-19-3P 393580-20-6P 393580-21-7P
393580-22-8P 393580-23-9P 393580-24-0P
393580-25-1P 393580-26-2P 393580-27-3P
393580-28-4P 393580-29-5P 393580-30-8P
393580-31-9P 393580-32-0P 393580-33-1P
393580-34-2P 393580-35-3P 393580-36-4P
393580-37-5P 393580-38-6P 393580-39-7P
393580-40-0P 393580-41-1P 393580-42-2P
393580-43-3P 393580-44-4P 393580-45-5P
393580-46-6P 393580-47-7P 393580-48-8P
393580-49-9P 393580-50-2P 393580-51-3P
393580-52-4P 393580-53-5P 393580-54-6P
393580-55-7P 393580-56-8P 393580-57-9P
393580-58-0P 393580-59-1P 393580-60-4P
393580-61-5P 393580-62-6P 393580-63-7P
393580-64-8P 393580-65-9P 393580-66-0P
393580-69-3P 393580-70-6P 393580-71-7P
393580-72-8P 393580-73-9P 393580-74-0P
393580-75-1P 393580-76-2P 393580-77-3P
393580-78-4P 393580-79-5P 393580-80-8P
393580-81-9P 393580-82-0P 393580-83-1P
393580-84-2P 393580-85-3P 393580-86-4P
393580-87-5P 393580-88-6P 393580-89-7P
393580-90-0P 393580-91-1P 393580-92-2P
393580-93-3P 393580-94-4P 393580-95-5P
393580-96-6P 393580-97-7P 393580-98-8P
393580-99-9P 393581-00-5P 393581-01-6P
393581-02-7P 393581-03-8P 393581-04-9P
393581-05-0P 393581-06-1P 393581-07-2P
393581-08-3P 393581-10-7P 393581-11-8P
393581-12-9P 393581-13-0P 393581-14-1P
393581-15-2P 393581-16-3P 393581-17-4P

393581-18-5P 393581-19-6P 393581-20-9P
 393581-77-6P 393581-82-3P 393582-01-9P
 393582-02-0P 393582-03-1P 393582-04-2P
 393582-05-3P 393582-06-4P 393582-07-5P
 393582-08-6P 393582-09-7P 393582-10-0P
 393582-11-1P 393582-12-2P 393582-13-3P
 393582-14-4P 393582-15-5P 393582-16-6P
 393582-17-7P 393582-18-8P 393582-19-9P
 393582-20-2P 393582-21-3P 393582-22-4P
 393582-23-5P 393582-24-6P 393582-25-7P
 393582-26-8P 393582-27-9P 393582-28-0P
 393582-29-1P 393582-30-4P 393582-31-5P
 393582-32-6P 393582-33-7P 393582-34-8P
 393582-35-9P 393582-36-0P 393582-37-1P
 393582-38-2P 393582-39-3P 393582-40-6P
 393582-41-7P 393582-42-8P 393582-43-9P
 393582-44-0P 393582-45-1P 393582-47-3P
 393582-48-4P 393582-49-5P 393582-50-8P
 393582-51-9P 393582-52-0P 393582-53-1P
 393582-54-2P 393582-55-3P 393582-56-4P
 393582-57-5P 393582-58-6P 393817-40-8P
 394203-62-4P 394203-63-5P 394203-64-6P
 394203-67-9P 394203-68-0P 394203-69-1P
 394203-70-4P 394203-71-5P 394203-75-9P
 394203-76-0P 394203-77-1P 394204-32-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(prepn. of novel peptides as NS3-serine protease inhibitors of
 hepatitis C virus)

IT 91-00-9, Diphenylmethylaniline 96-81-1 106-95-6, Allyl bromide,
 reactions 120-14-9 543-27-1, Isobutyl chloroformate 627-05-4,
 1-Nitrobutane 652-40-4, 3,6-Difluorophthalic anhydride 870-46-2,
 tert-Butyl carbazate 2462-31-9 2762-32-5, 2-Piperazinecarboxylic acid
 2900-27-8 2935-35-5 2999-46-4, Ethyl isocynoacetate 4530-20-5
 13211-31-9 35264-05-2 35661-40-6 35661-60-0 50305-43-6
 53934-78-4 55447-00-2 55516-54-6 58438-04-3 98541-64-1
 102410-65-1 109183-71-3 135112-28-6 143935-63-1 161321-36-4
 270587-81-0 393581-87-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of novel peptides as NS3-serine protease inhibitors of
 hepatitis C virus)

IT 6485-52-5DP, resin-bound 41487-04-1P 58948-98-4P 60079-51-8P
 64835-38-7P 76203-43-5P 137381-03-4P 143978-92-1P 150908-38-6P
 151275-26-2P 166196-05-0P 166196-06-1P 181955-79-3P 276888-16-5P
 276888-17-6P 276888-38-1P 276888-55-2P 276888-56-3P 367258-42-2P
 367258-43-3P 367258-44-4P 367258-45-5P 367258-46-6P 367258-47-7P
 367259-26-5P 367259-52-7P 367260-51-3P 371111-94-3P 371112-18-4P
 371112-23-1P 393581-24-3P 393581-25-4P 393581-26-5P 393581-27-6P
 393581-28-7P 393581-29-8P 393581-30-1P 393581-31-2P 393581-32-3P
393581-33-4P 393581-34-5P 393581-35-6P 393581-36-7P
393581-37-8P **393581-38-9P** 393581-40-3P 393581-41-4P
 393581-42-5P 393581-43-6P 393581-44-7P **393581-45-8P**
 393581-46-9P 393581-47-0P 393581-48-1P **393581-49-2P**
393581-50-5P 393581-51-6DP, resin-bound 393581-52-7DP,
 resin-bound 393581-53-8P 393581-54-9P 393581-55-0P 393581-56-1P
 393581-57-2P 393581-58-3P **393581-59-4P** 393581-60-7P
 393581-61-8P 393581-62-9P 393581-63-0P **393581-64-1P**
 393581-65-2P 393581-66-3P 393581-67-4P 393581-68-5P
393581-69-6P 393581-70-9P 393581-71-0P 393581-72-1P
 393581-73-2DP, resin-bound 393581-74-3DP, resin-bound 393581-75-4DP,
 resin-bound 393581-76-5DP, resin-bound **393581-77-6DP**,
 resin-bound 393581-78-7DP, resin-bound 393581-79-8DP, resin-bound

393581-80-1DP, resin-bound 393581-81-2DP, resin-bound
393581-82-3DP, resin-bound 393582-00-8P 394203-72-6P
 394203-73-7P 394203-74-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of novel peptides as NS3-serine protease inhibitors of
 hepatitis C virus)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) F Hoffmann-La Roche Ag; WO 9822496 A2 1998 HCAPLUS
- (2) Hanson; US 5488067 A 1996 HCAPLUS
- (3) Powers; US 5514694 A 1996 HCAPLUS

IT **393580-04-6P**

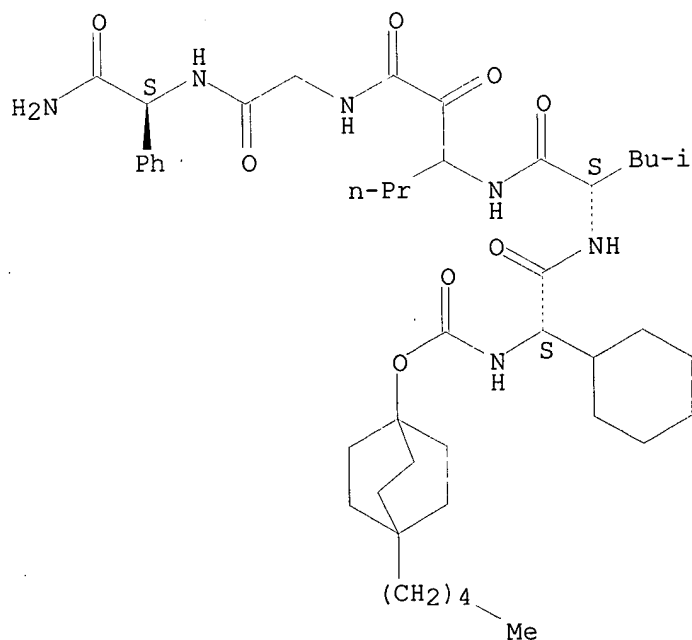
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(prepn. of novel peptides as NS3-serine protease inhibitors of
 hepatitis C virus)

RN 393580-04-6 HCAPLUS

CN Glycinamide, (2S)-2-cyclohexyl-N-[[[4-pentylbicyclo[2.2.2]oct-1-yl]oxy]carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-,
 (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d all hitstr tot 142

L42 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:74126 HCAPLUS

DN 132:260167

TI Inhibitors of .beta.-amyloid formation based on the .beta.-secretase
 cleavage site

AU Abbenante, G.; Kovacs, D. M.; Leung, D. L.; Craik, D. J.; Tanzi, R. E.;
 Fairlie, D. P.

CS Centre for Drug Design and Development, University of Queensland,
 Brisbane, 4072, Australia

SO Biochemical and Biophysical Research Communications (2000),
268(1), 133-135
CODEN: BBRCA9; ISSN: 0006-291X

PB Academic Press

DT Journal

LA English

CC 1-3 (Pharmacology)

AB A series of inhibitors of .beta.-amyloid formation have been developed
based on the .beta.-secretase cleavage site (VNL-DA) of the Swedish mutant
Amyloid Precursor Protein. A simple tripeptide aldehyde was found to be
the most potent (IC50 = 700 nM) in the series displaying an inhibitory
profile which is different from reported inhibitors of .beta.-amyloid
formation. (c) 2000 Academic Press.

ST beta amyloid inhibitor secretase cleavage site; amyloid precursor protein
cleavage inhibitor; Alzheimer disease beta amyloid secretase inhibitor

IT Structure-activity relationship
(enzyme-inhibiting; inhibitors of .beta.-amyloid formation based on
.beta.-secretase cleavage site of amyloid precursor protein)

IT Amyloid precursor proteins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(inhibitors of .beta.-amyloid formation based on .beta.-secretase
cleavage site of amyloid precursor protein)

IT Amyloid
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(.beta.-; inhibitors of .beta.-amyloid formation based on
.beta.-secretase cleavage site of amyloid precursor protein)

IT 263563-02-6 263563-03-7 263563-04-8 263563-05-9 263563-06-0
263563-07-1 263563-08-2 263563-09-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
(inhibitors of .beta.-amyloid formation based on .beta.-secretase
cleavage site of amyloid precursor protein)

IT 158736-49-3, .beta.-Secretase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(inhibitors of .beta.-amyloid formation based on .beta.-secretase
cleavage site of amyloid precursor protein)

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

- (1) Citron, M; Nat Med 1997, V3, P67 HCAPLUS
- (2) Citron, M; Neuron 1995, V14, P661 HCAPLUS
- (3) Citron, M; Proc Natl Acad Sci 1996, V93, P13170 HCAPLUS
- (4) Dovey, H; Canadian Patent Application 1995 HCAPLUS
- (5) Felsenstein, K; US 5703129 1997 HCAPLUS
- (6) Fieser, L; Reagents for Organic Synthesis 1967, V1
- (7) Glenner, G; Biochem Biophys Res Commun 1984, V120, P885 HCAPLUS
- (8) Hardy, J; Proc Natl Acad Sci 1997, V94, P2095 HCAPLUS
- (9) Higaki, J; Neuron 1995, V14, P651 HCAPLUS
- (10) Hussain, I; Mol Cell Neurosci 1999, V14, P419 HCAPLUS
- (11) Jarrett, J; Biochemistry 1993, V42, P4693
- (12) Klafki, H; J Biol Chem 1996, V271, P28655 HCAPLUS
- (13) Koo, E; J Biol Chem 1994, V269, P17386 HCAPLUS
- (14) McDonald, I; US 5703129 1998 HCAPLUS
- (15) Paganetti, P; J Neurosci Res 1996, V46, P283 HCAPLUS
- (16) Schenk, D; J Med Chem 1995, V38, P4141 HCAPLUS
- (17) Sinha, S; Nature 1999, V402, P537 HCAPLUS
- (18) Varghese, J; Annual Reports in Medicinal Chemistry 1997, V32, P12
- (19) Vassar, R; Science 1999, V286, P735 HCAPLUS
- (20) Wolfe, M; J Med Chem 1998, V41, P6 HCAPLUS
- (21) Yan, R; Nature 1999, V402, P533 HCAPLUS
- (22) Zhang, L; J Biol Chem 1999, V274, P8966 HCAPLUS

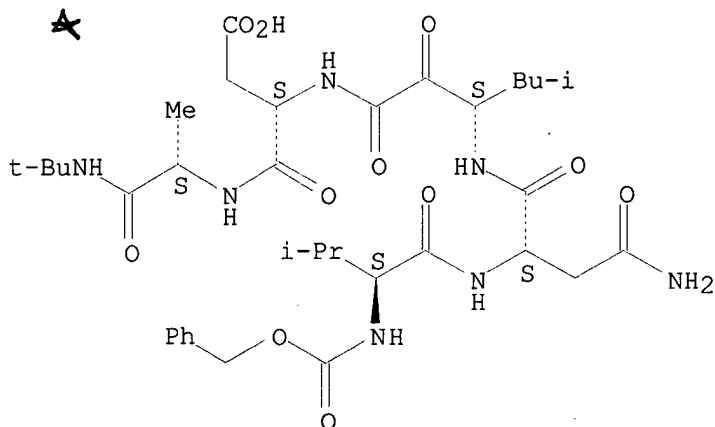
IT 263563-07-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors of .beta.-amyloid formation based on .beta.-secretase cleavage site of amyloid precursor protein)

RN 263563-07-1 HCAPLUS

CN L-Alaninamide, N-[(phenylmethoxy)carbonyl]-L-valyl-L-asparaginy-(3S)-3-amino-5-methyl-2-oxohexanoyl-L-.alpha.-aspartyl-N-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:180641 HCAPLUS

DN 130:267755

TI Solid and solution phase synthesis of .alpha.-keto amides via azetidinone ring-opening: application to the synthesis of poststatin

AU Khim, Seock-Kyu; Nuss, John M.

CS Chiron Corporation, Emeryville, CA, 94608-2916, USA

SO Tetrahedron Letters (1999), 40(10), 1827-1830

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

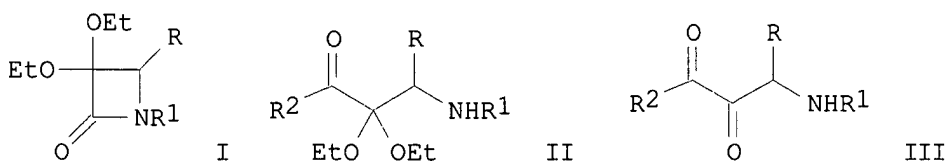
DT Journal

LA English

CC 34-3 (Amino Acids, Peptides, and Proteins)

OS CASREACT 130:267755

GI



AB 3,3-Diethoxy-N-sulfonyl- and -carbamoylazetidin-2-ones I [R = Ph, Et; R1 = tosyl (Ts), allyloxycarbonyl (Alloc)] undergo efficient ring-opening reaction with various amine nucleophiles to give protected ketoamides II (R2 = NHCH2C6H4OMe-4, furfurylamino, morpholino, Val-OMe, L-phenylalaninol, Wang resin-bound phenylalanine). Subsequent acid hydrolysis of the ketal moiety generated .alpha.-keto amides III in excellent overall yields. The naturally occurring serine protease

- inhibitor poststatin, H-Val-Val-NHCH₂CO₂D-Leu-Val-OH, was synthesized using this ring-opening reaction as the key step.
- ST ketoamide prepn amine ring opening protected diethoxyazetidinone; azetidinone diethoxy ring opening amine ketoamide prepn; poststatin prepn amine ring opening protected diethoxyazetidinone; solid phase synthesis ketoamide diethoxyazetidinone ring opening
- IT Amides, preparation
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (oxo; solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)
- IT Ring opening
 Solid phase synthesis
 (solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)
- IT Amines, reactions
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)
- IT 63-91-2D, Phenylalanine, ester with Wang resin 100-52-7, Benzaldehyde, reactions 110-91-8, Morpholine, reactions 123-38-6, Propanal, reactions 617-89-0, Furfurylamine 2393-23-9, p-Methoxybenzylamine 3182-95-4, L-Phenylalaninol 4070-48-8, L-Valine methyl ester 6065-82-3, Ethyl diethoxyacetate
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)
- IT 222406-12-4P 222406-13-5P 222406-14-6P 222406-15-7P 222406-16-8P
 222406-17-9P 222406-18-0P 222406-20-4P 222406-21-5P 222406-22-6P
 222406-23-7P 222406-24-8P 222406-25-9P 222406-26-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)
- IT 160866-54-6P **222406-19-1P** 222406-27-1P 222406-28-2P
 222406-29-3P 222406-30-6P 222406-31-7P 222406-32-8P 222406-33-9P
 222406-34-0P 222406-35-1P 222406-36-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)
- RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
- RE
- (1) Adlington, R; Synth Commun 1997, V27, P3803 HCAPLUS
 - (2) Barlos, K; Tetrahedron Lett 1989, V30, P3947 HCAPLUS
 - (3) Fukuyama, T; Tetrahedron Lett 1995, V36, P6373 HCAPLUS
 - (4) Fusetani, N; J Am Chem Soc 1990, V112, P7053 HCAPLUS
 - (5) Georg, G; Bioorg Med Chem Lett 1994, V4, P335 HCAPLUS
 - (6) Greene, T; Protective Groups in Organic Synthesis 1991
 - (7) Gude, M; Tetrahedron Lett 1996, V37, P8589 HCAPLUS
 - (8) Harbeson, S; J Med Chem 1994, V37, P2918 HCAPLUS
 - (9) Hart, D; J Org Chem 1983, V48, P289 HCAPLUS
 - (10) Kocienski, P; Protecting Groups 1994
 - (11) Li, Z; J Med Chem 1996, V39, P4089 HCAPLUS
 - (12) Mehdi, S; Bioorg Chem 1993, V21, P249
 - (13) Nagai, M; J Antibiotics 1991, V44, P956 HCAPLUS
 - (14) Ocain, T; J Med Chem 1992, V35, P451 HCAPLUS
 - (15) Ojima, I; Bioorg Med Chem Lett 1993, V3, P2479 HCAPLUS
 - (16) Ojima, I; J Org Chem 1994, V59, P1249 HCAPLUS
 - (17) Ojima, I; J Org Chem 1998, V63, P224 HCAPLUS
 - (18) Palomo, C; J Chem Soc Chem Commun 1994, P1957 HCAPLUS
 - (19) Palomo, C; J Chem Soc Chem Commun 1996, P633 HCAPLUS
 - (20) Palomo, C; J Chem Soc Chem Commun 1996, P633 HCAPLUS
 - (21) Rzasa, R; J Am Chem Soc 1998, V120, P591 HCAPLUS
 - (22) Tsuda, M; J Antibiot 1996, V49, P287 HCAPLUS

(23) Wasserman, H; Tetrahedron Lett 1997, V38, P953 HCAPLUS

IT 222406-19-1P

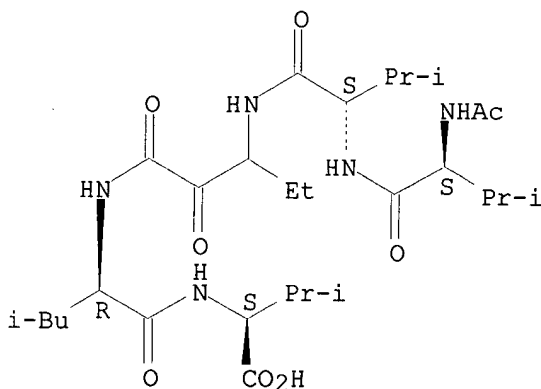
RL: SPN (Synthetic preparation); PREP (Preparation)

(solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)

RN 222406-19-1 HCAPLUS

CN L-Valine, N-acetyl-L-valyl-L-valyl-3-amino-2-oxopentanoyl-D-leucyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:195736 HCAPLUS

DN 126:235032

TI Design of a Synthetic Nuclease: DNA Hydrolysis by a Zinc-Binding Peptide Tethered to a Rhodium Intercalator

AU Fitzsimons, Marilena P.; Barton, Jacqueline K.

CS Division of Chemistry and Chemical Engineering, California Institute of Technology, Pasadena, CA, 91125, USA

SO Journal of the American Chemical Society (1997), 119(14), 3379-3380

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

CC 7-2 (Enzymes)

Section cross-reference(s): 6

AB A short peptide, Asp-Pro-Asp-Glu-Leu-Glu-His-Ala-Ala-Lys-His-Glu-Ala-Ala-Ala-Lys-CONH₂, which binds stoichiometric zinc ion, has been tethered to the DNA-intercalating metal complex Rh(phi)2bpy' (phi = phenanthrenequinone diimine, bpy' = 4-butyric acid-4-methyl-2,2'-bipyridine) to construct a synthetic DNase. In this combination of DNA-binding and reactive moieties, the rhodium intercalator delivers the appended peptide with coordinated Zn²⁺ for reaction with DNA. In the presence of Zn²⁺, the Rh(phi)2bpy'-peptide conjugate at .mu.M concn. is found to cleave supercoiled pBR322 DNA and a 17-base pair oligonucleotide duplex under mild conditions. DNA hydrolysis requires the rhodium intercalator, the peptide, and Zn²⁺. The rate const. for the cleavage of pBR322 DNA by Rh(phi)2bpy'-peptide at pH 6.0 is 2.5 +/- 0.2.times.10⁻⁵ s⁻¹. Product anal. by high resoln. PAGE of cleaved oligonucleotide fragments shows 3'-hydroxyl termini exclusively. These results indicate a stereospecific, hydrolytic DNA cleavage reaction by the synthetic complex and establish a new route to the design of synthetic DNA endonucleases.

ST DNase design rhodium intercalator zinc peptide

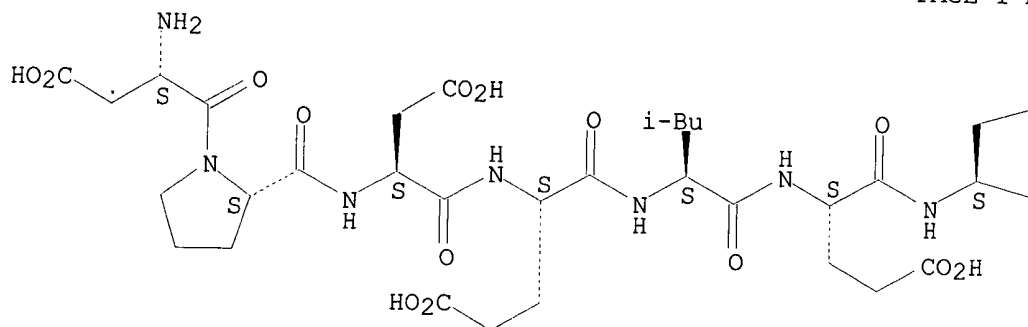
IT DNA

RL: BPR (Biological process); BSU (Biological study, unclassified); RCT

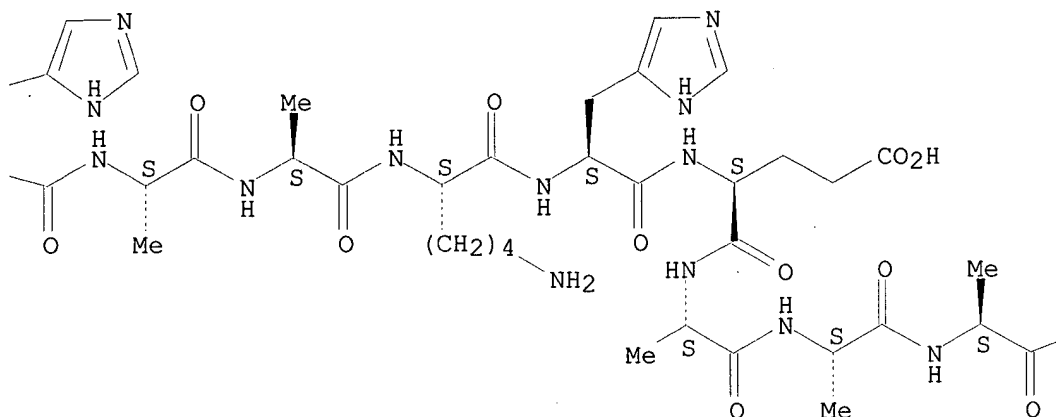
- (Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)
 (design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)
- IT Plasmids
 (pBR322; design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)
- IT 188473-46-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); CAT (Catalyst use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)
- IT 9003-98-9P, DNase
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)
- IT 7440-66-6, Zinc, reactions **188473-44-1** 188473-45-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)
- IT **188473-44-1**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)
- RN 188473-44-1 HCAPLUS
 CN L-Lysinamide, L-.alpha.-aspartyl-L-prolyl-L-.alpha.-aspartyl-L-.alpha.-glutamyl-L-leucyl-L-.alpha.-glutamyl-L-histidyl-L-alanyl-L-alanyl-L-lysyl-L-histidyl-L-.alpha.-glutamyl-L-alanyl-L-alanyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

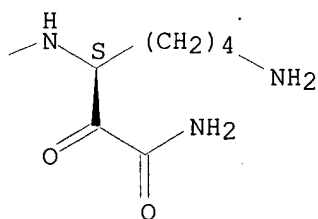
PAGE 1-A



PAGE 1-B



PAGE 1-C



L42 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:114544 HCAPLUS

DN 126:212420

TI A convergent synthesis of poststatin: application of the acyl
cyanophosphorane coupling procedure in the formation of a peptidic
.alpha.-keto amide

AU Wasserman, Harry H.; Petersen, Anders K.

CS Department of Chemistry, Yale University, New Haven, CT, 06520-8107, USA

SO Tetrahedron Letters (1997), 38(6), 953-956

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

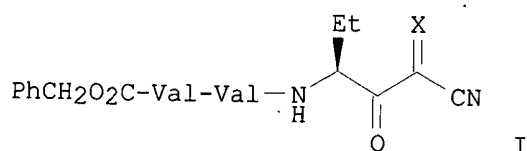
DT Journal

LA English

CC 34-3 (Amino Acids, Peptides, and Proteins)

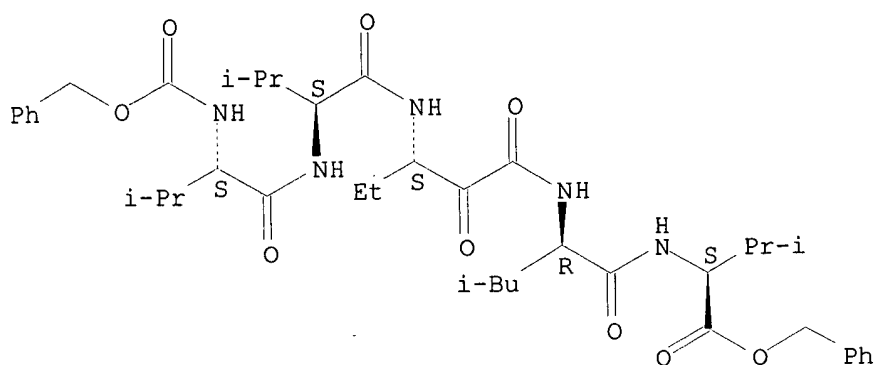
OS CASREACT 126:212420

GI



- AB A convergent synthesis of the pentapeptide poststatin has been developed. The key step involves oxidative cleavage of acyl cyanophosphorane I (X = PPh₃). The resulting .alpha.,.beta.-diketo nitrile I (X = O) is then coupled to the free amine of a C-terminal-dipeptidyl component to generate the protected natural product. Deprotection by hydrogenolysis furnishes poststatin.
- ST poststatin prepn acyl cyanophosphorane coupling
- IT Acylation
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)
- IT Peptides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(ketoamides; application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)
- IT 188054-57-1P
RL: BYP (Byproduct); PREP (Preparation)
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)
- IT 3918-94-3 4336-70-3, (Cyanomethyl)triphenylphosphonium chloride 141403-96-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)
- IT 16640-68-9P, (Cyanomethylene)triphenylphosphorane 19542-54-2P 42918-86-5P **135219-44-2P** 135219-68-0P 188054-58-2P 188054-59-3P 188054-60-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)
- IT 135219-43-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)
- IT **135219-44-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)
- RN 135219-44-2 HCAPLUS
- CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-(3S)-3-amino-2-oxopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:592181 HCAPLUS

DN 125:295861

TI Poststatin, a new inhibitor of prolyl endopeptidase. VI. Endopeptidase inhibitory activity of poststatin analogs containing pyrrolidine ring

AU Tsuda, Makoto; Muraoka, Yasuhiko; Someno, Tetsuya; Nagai, Machiko; Aoyagi, Takaaki; Takeuchi, Tomio

CS Inst. Microbial Chem., Tokyo, 141, Japan

SO Journal of Antibiotics (1996), 49(9), 900-908

CODEN: JANTAJ; ISSN: 0021-8820

PB Japan Antibiotics Research Association

DT Journal

LA English

CC 7-3 (Enzymes)

AB Several pyrrolidine-contg. analogs of poststatin were synthesized and examd. for their inhibitory activity against prolyl endopeptidase and cathepsin B in vitro. Replacement of the postine residue with 2-oxo-2-(2-pyrrolidinyl)acetic acid increased the selectivity and inhibitory activity against prolyl endopeptidase. benzyloxycarbonyl-L-phenylalanyl-(S)-2-oxo-2-(2-pyrrolidinyl)acetyl-D-phenylalanine was about 46 times as active to prolyl endopeptidase as natural poststatin.

ST prepn poststatin analog pyrrolidine; inhibitor prolyl endopeptidase poststatin analog pyrrolidine

IT 182758-60-7P 182967-35-7P

RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(endopeptidase inhibitory activity of poststatin analogs contg. pyrrolidine ring and their prepn.)

IT 135219-43-1P **135219-44-2P** 141403-71-6P 141403-77-2P
182758-48-1P 182758-54-9P 182758-57-2P 182758-62-9P 182758-64-1P
182758-66-3P 182758-68-5P 182758-69-6P 182758-70-9P 182758-71-0P
182967-34-6P 182967-36-8P 182967-37-9P 182967-38-0P 182967-39-1P
182967-40-4P 183183-49-5P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(endopeptidase inhibitory activity of poststatin analogs contg. pyrrolidine ring and their prepn.)

IT 67-51-6, 3,5-Dimethylpyrazole 75-64-9, reactions 123-91-1, Dioxane, reactions 464-05-1, Pyridinium trifluoroacetate 538-75-0, Dicyclohexylcarbodiimide 1148-11-4 2748-02-9 16937-99-8 135219-63-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant for the prepn. of poststatin analogs contg. pyrrolidine ring)

IT 72351-45-2P 141403-59-0P 141403-63-6P 141403-82-9P 141403-86-3P

141403-93-2P 182758-72-1P 182758-73-2P 182758-74-3P 182758-76-5P
 182758-77-6P 182758-78-7P 182758-79-8P 182967-41-5P 182967-42-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(reactant for the prepn. of poststatin analogs contg. pyrrolidine ring)

IT **135219-44-2P**

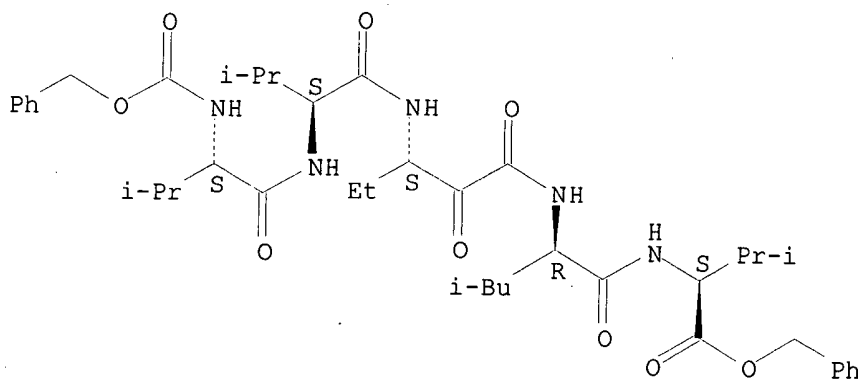
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN
 (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC
 (Process)

(endopeptidase inhibitory activity of poststatin analogs contg.
 pyrrolidine ring and their prepn.)

RN 135219-44-2 HCAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-(3S)-3-amino-2-
 oxopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:592180 HCAPLUS

DN 125:301554

TI Poststatin, a new inhibitor of prolyl endopeptidase. V. Endopeptidase
 inhibitory activity of poststatin analogs

AU Tsuda, Makoto; Muraoka, Yasuhiko; Nagai, Machiko; Aoyagi, Takaaki;
 Takeuchi, Tomio

CS Inst. Microbial Chem., Tokyo, 141, Japan

SO Journal of Antibiotics (1996), 49(9), 890-899

CODEN: JANTAJ; ISSN: 0021-8820

PB Japan Antibiotics Research Association

DT Journal

LA English

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 7

AB Thirty analogs of poststatin were synthesized, and their inhibitory
 activities against prolyl endopeptidase, human leukocyte elastase and
 cathepsin B were measured. In the .beta.-substituted-.beta.-amino-.alpha.-
 oxopropionic acid moiety of poststatin analogs, the .alpha.-keto group was
 essential and the S stereo configuration was more preferable than R for
 endopeptidase inhibitory activity. The analog in which the D-leucine
 residue of poststatin was replaced by L-leucine showed strong inhibitory
 activity to cathepsin B. Introduction of an arom. group into the P4
 position and proline into the P2 position increased inhibitory activity to
 elastase. Benzyloxycarbonyl-L-homophenylalanyl-(RS)-3-amino-2-oxovaleryl-
 D-leucyl-L-valine was about 6 times more active to prolyl endopeptidase
 than natural poststatin.

ST poststatin analog prepn; endopeptidase inhibitory activity poststatin
 analog; aminooxopropionic acid deriv poststatin

IT 9004-06-2, Elastase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(human leukocyte; prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

IT 135219-43-1D, Poststatin, analogs

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

IT 135219-45-3P 135219-46-4P 135219-48-6P **135219-49-7P**

135219-50-0P 135219-52-2P 135219-53-3P **135219-54-4P**

135219-55-5P 135219-56-6P **135219-57-7P** 135219-58-8P

135219-62-4P **135270-54-1P** 135355-22-5P **141187-11-3P**

182742-33-2P 182742-34-3P 182742-35-4P 182742-36-5P 182742-38-7P

182742-39-8P **182742-40-1P** 182742-41-2P 182742-42-3P

182966-18-3P 182966-19-4P 182966-20-7P 182966-21-8P 182966-22-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

IT 9047-22-7, Cathepsin B 72162-84-6, Prolyl endopeptidase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

IT **135219-49-7P 135219-54-4P 135219-57-7P**

135270-54-1P 141187-11-3P 182742-40-1P

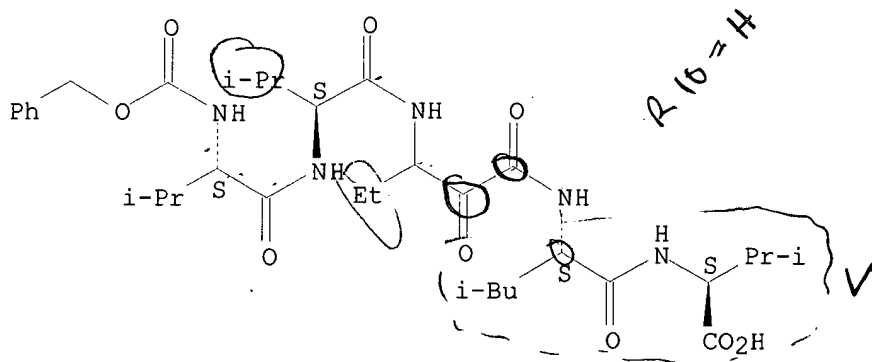
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

RN 135219-49-7 HCAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-L-leucyl- (9CI) (CA INDEX NAME)

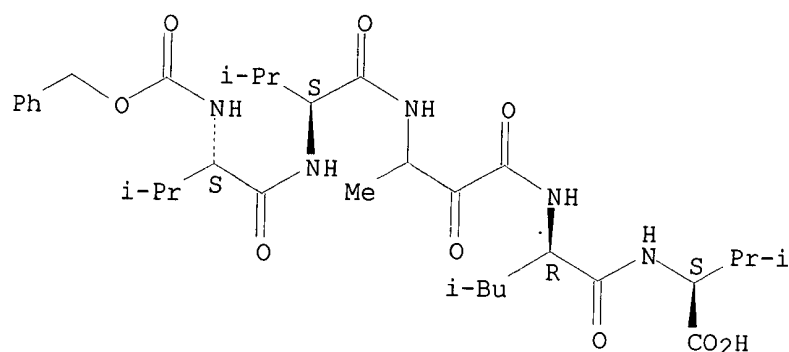
Absolute stereochemistry.



RN 135219-54-4 HCAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminobutanoyl-D-leucyl- (9CI) (CA INDEX NAME)

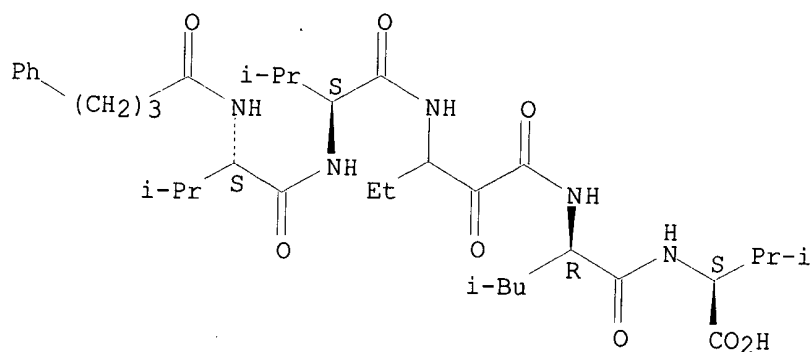
Absolute stereochemistry.



RN 135219-57-7 HCAPLUS

CN L-Valine, N-(1-oxo-4-phenylbutyl)-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-D-leucyl- (9CI) (CA INDEX NAME)

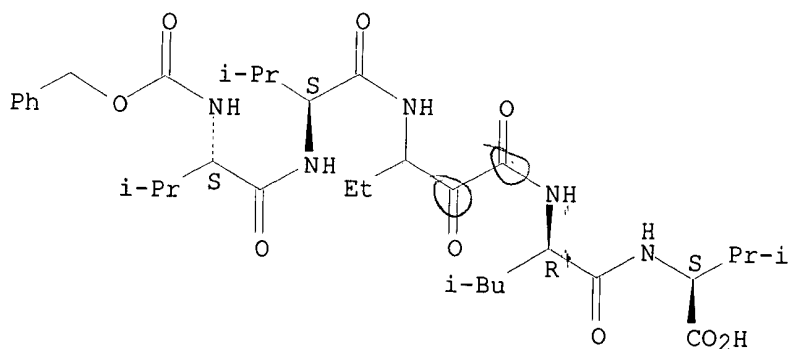
Absolute stereochemistry.



RN 135270-54-1 HCAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-D-leucyl- (9CI) (CA INDEX NAME)

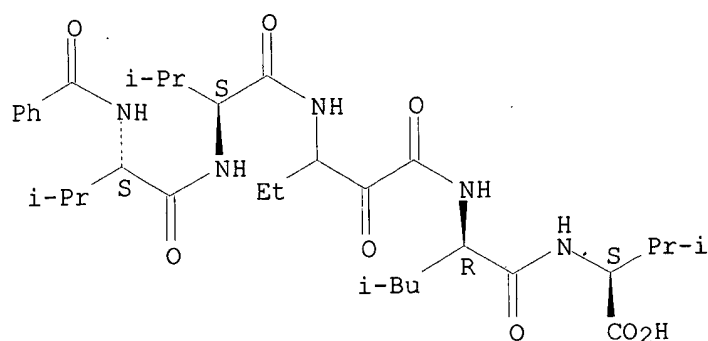
Absolute stereochemistry.



RN 141187-11-3 HCAPLUS

CN L-Valine, N-benzoyl-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-D-leucyl- (9CI) (CA INDEX NAME)

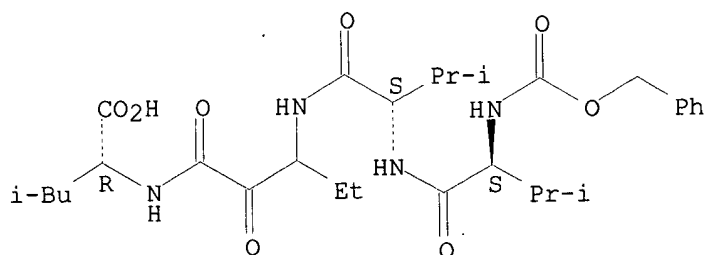
Absolute stereochemistry.



RN 182742-40-1 HCAPLUS

CN D-Leucine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminopentanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:190806 HCAPLUS

DN 124:344063

TI Poststatin, a new inhibitor of prolyl endopeptidase IV. The chemical synthesis of poststatin

AU Tsuda, Makoto; Muraoka, Yasuhiko; Nagai, Machiko; Takeuchi, Tomio; Aoyagi, Takaaki

CS Inst. of Microbial Chemistry, M. C. R. F., Tokyo, 141, Japan

SO Journal of Antibiotics (1996), 49(3), 287-91

CODEN: JANTAJ; ISSN: 0021-8820

PB Japan Antibiotics Research Association

DT Journal

LA English

CC 34-3 (Amino Acids, Peptides, and Proteins)

AB Total synthesis of poststatin was achieved by both liq. phase and solid phase methods. In both methods, (2R,3S)-3-amino-2-hydroxyvaleric acid was incorporated into protected pentpeptides, and was oxidized to (S)-3-amino-2-oxovaleric acid (postine). Deprotection of the oxidized pentapeptides gave a specimen identical with natural poststatin in physicochem. properties and prolyl endopeptidase inhibitory activity.

ST poststatin prolyl endopeptidase inhibitor prepn; Merrifield synthesis poststatin prolyl endopeptidase inhibitor

IT 1149-26-4 13734-41-3 16652-76-9, Valine benzyl ester tosylate 16937-99-8 68858-20-8 68858-20-8D, resin-bound 114360-54-2

141406-78-2 141436-14-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of prolyl endopeptidase inhibitor poststatin by soln. and solid-phase methods)

IT 135219-44-2P 135219-63-5P 135219-70-4P 135219-71-5P

141187-09-9P 160913-68-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of prolyl endopeptidase inhibitor poststatin by soln. and solid-phase methods)

IT 135219-43-1P, Poststatin 176777-95-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of prolyl endopeptidase inhibitor poststatin by soln. and solid-phase methods)

IT 135219-44-2P

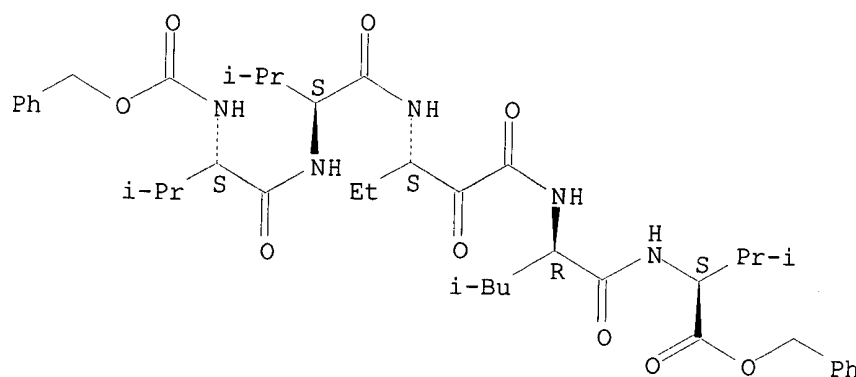
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of prolyl endopeptidase inhibitor poststatin by soln. and solid-phase methods)

RN 135219-44-2 HCAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-(3S)-3-amino-2-oxopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:440143 HCAPLUS

DN 123:112687

TI Synthesis and human immunodeficiency virus (HIV)-1 protease inhibitory activity of tripeptide analogs containing a dioxoethylene moiety

AU Kitazaki, Tomoyuki; Asano, Tsuneo; Kato, Koichi; Kishimoto, Shoji; Itoh, Katsumi

CS Pharmaceutical Research Laboratories III, Takeda Chemical Industries, Ltd., Osaka, 532, Japan

SO Chemical & Pharmaceutical Bulletin (1994), 42(12), 2636-40

CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

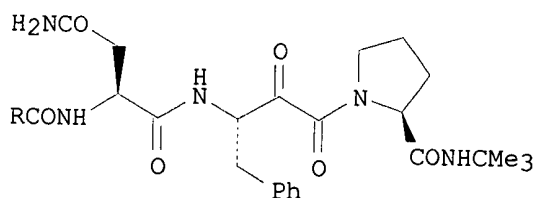
DT Journal

LA English

CC 34-3 (Amino Acids, Peptides, and Proteins)

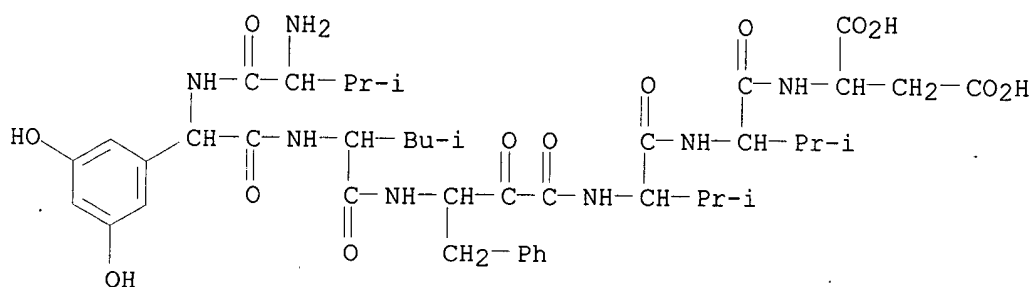
Section cross-reference(s): 1, 7

GI

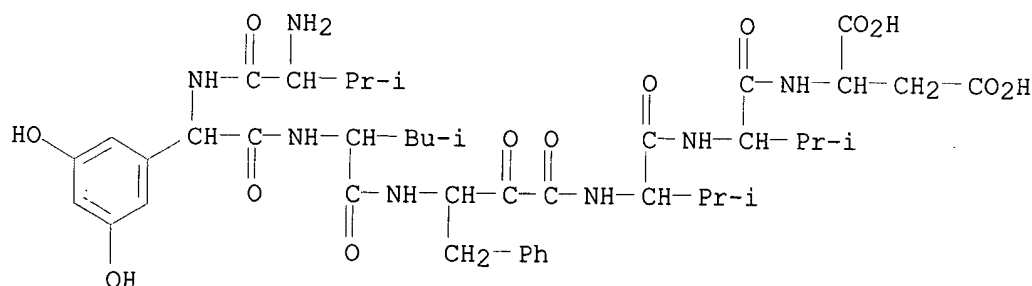


I

- AB Tripeptide analogs I (R = PhCH₂O, 2-quinolyl), contg. a dioxoethylene moiety, were designed based on the characteristic structure of the naturally occurring human immunodeficiency virus (HIV)-1 protease inhibitors RPI-856 A, B, C and D. I showed high inhibitory activity, comparable to that of RPI-856 A, against HIV-1 protease in vitro.
- ST immunodeficiency virus protease inhibitor tripeptide; HIV protease inhibitor dioxoethylene pseudotripeptide; RPI 856 tripeptide protease inhibitor
- IT Virus, animal
(human immunodeficiency 1, synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)
- IT 9001-92-7, Protease
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(human immunodeficiency virus-1; synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)
- IT **157341-54-3 157381-54-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)
- IT 139694-65-8P, RPI 312 141171-80-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)
- IT 141171-73-5P 152843-00-0P 165522-25-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)
- IT 3256-57-3 62023-59-0 62023-60-3 128018-18-8 136465-98-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)
- IT 139758-12-6P 141171-72-4P 152886-87-8P 153380-43-9P 165522-26-9P 165522-27-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)
- IT **157341-54-3 157381-54-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)
- RN 157341-54-3 HCAPLUS
CN L-Aspartic acid, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl-L-valyl- (9CI) (CA INDEX NAME)

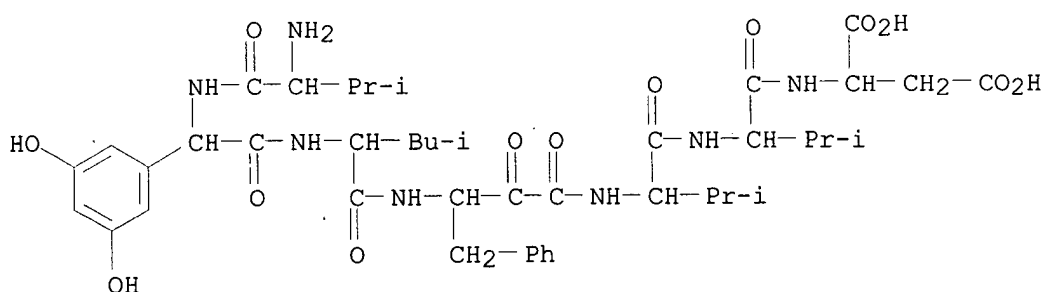


RN 157381-54-9 HCAPLUS
 CN L-Aspartic acid, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl-L-valyl- (9CI) (CA INDEX NAME)

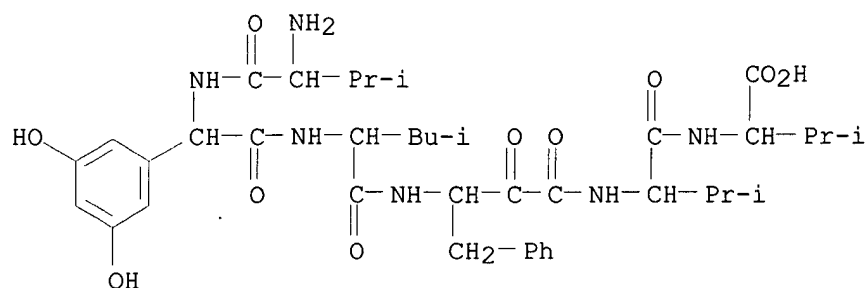


L42 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 1994:650735 HCAPLUS
 DN 121:250735
 TI Novel retrovirus protease inhibitors, RPI-856 A, B, C, and D, produced by Streptomyces sp. AL-322
 AU Asano, Tsuneo; Matsuoka, Kunio; Hida, Tsuneaki; Kobayashi, Makoto; Kitamura, Yumiko; Hayakawa, Takaki; Iinuma, Shigemi; Kakinuma, Atsushi; Kato, Koichi
 CS Discovery Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
 SO Journal of Antibiotics (1994), 47(5), 557-65
 CODEN: JANTAJ; ISSN: 0021-8820
 DT Journal
 LA English
 CC 10-1 (Microbial, Algal, and Fungal Biochemistry)
 Section cross-reference(s): 7
 AB Four kinds of retrovirus protease (retropepsin) inhibitors (RPI-856 A, B, C, and D) were isolated as white powder from the culture filtrate of a soil isolate, Streptomyces sp. AL-322 by column chromatog. using Diaion HP-20, Sephadex LH-20, ODS reversed phase HPLC and SP-2SW ion-exchange HPLC. The structures of these inhibitors were elucidated by physicochem. properties, chem. reactions and spectral anal., as valyl-ADPAA-leucyl-AOPBA-valyl-valyl-aspartic acid (RPI-856 A and B) and valyl-ADPAA-leucyl-AOPBA-valyl-valine (RPI-856 C and D) [ADPAA = 2-amino-2-(3,5-dihydroxyphenyl)acetic acid, AOPBA = 3-amino-2-oxo-4-phenylbutyric acid]. RPI-856 A and B, and RPI-856 C and D were both detd. to be diastereomers to each other on the asym. C in AOPBA. These 4 inhibitors strongly inhibited in vitro HIV-1 and HTLV-1 retropepsins, both derived from recombinant Escherichia coli with IC50 of 10-7-10-8 M.
 ST RPI 856 Streptomyces retrovirus retropepsin inhibitor
 IT Nomenclature, new natural products
 (RPI-856 A (peptide))
 IT Nomenclature, new natural products

- (RPI-856 B (peptide))
- IT Nomenclature, new natural products
(RPI-856 C (peptide))
- IT Nomenclature, new natural products
(RPI-856 D (peptide))
- IT Molecular structure, natural product
(of RPI-856 A (peptide))
- IT Molecular structure, natural product
(of RPI-856 B (peptide))
- IT Molecular structure, natural product
(of RPI-856 C (peptide))
- IT Molecular structure, natural product
(of RPI-856 D (peptide))
- IT Taxonomy
(of Streptomyces productive for retroviral proteinase inhibitors)
- IT Kinetics, enzymic
(of inhibition, of retropepsin of retroviruses, by RPI-856 A)
- IT Streptomyces
(retropepsin inhibitors from)
- IT Fermentation
(retroviral proteinase inhibitors RPI-856, with Streptomyces)
- IT **157381-54-9 157381-55-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(as retropepsin inhibitor from Streptomyces, isolation and
characterization and redn. of)
- IT 144114-21-6, Retropepsin
RL: PROC (Process)
(inhibition of, of HIV-1 virus, by aminooxyphenylbutyrate-contg.
peptides from Streptomyces)
- IT 158637-81-1P 158704-38-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and retropepsin-inhibiting activity of)
- IT **157341-54-3 157341-55-4**
RL: BIOL (Biological study)
(retroviral protease inhibitor, from Streptomyces)
- IT **157381-54-9 157381-55-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(as retropepsin inhibitor from Streptomyces, isolation and
characterization and redn. of)
- RN 157381-54-9 HCAPLUS
- CN L-Aspartic acid, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl-L-valyl- (9CI) (CA INDEX NAME)



- RN 157381-55-0 HCAPLUS
- CN L-Valine, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl- (9CI) (CA INDEX NAME)



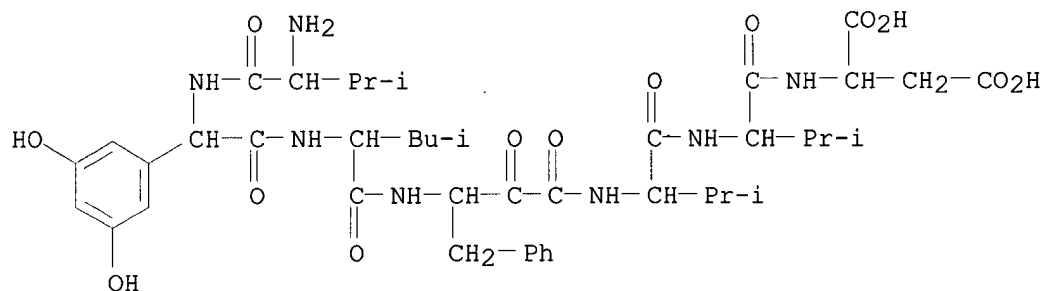
IT 157341-54-3 157341-55-4

RL: BIOL (Biological study)

(retroviral protease inhibitor, from Streptomyces)

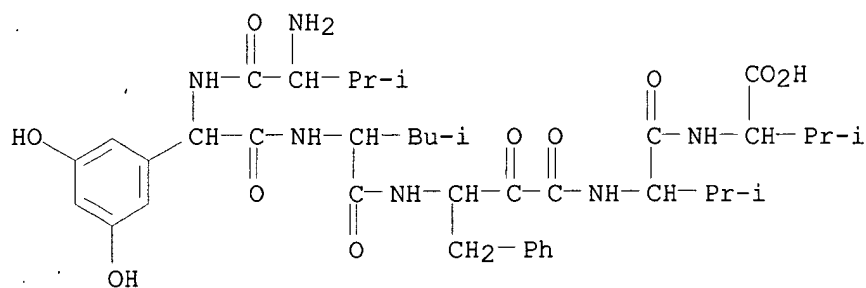
RN 157341-54-3 HCAPLUS

CN L-Aspartic acid, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl-L-valyl- (9CI) (CA INDEX NAME)



RN 157341-55-4 HCAPLUS

CN L-Valine, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl- (9CI) (CA INDEX NAME)



=> d all 143 fhitr tot

L43 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:416971 HCAPLUS

DN 135:19916

TI Preparation of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease

IN Han, Wei

PA Du Pont Pharmaceuticals Company, USA

SO PCT Int. Appl., 282 pp.

CODEN: PIXXD2

mondesi - 09 / 909012

DT Patent
 LA English
 IC ICM C07K005-02
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7, 15

FAN.CNT	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001040262	A1	20010607	WO 2000-US32677	20001201 <--
	W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	US 2002123468	A1	20020905	US 2000-728653	20001201 <--
	EP 1252178	A1	20021030	EP 2000-983845	20001201 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
PRAI	US 1999-168998P	P	19991203 <--		
	WO 2000-US32677	W	20001201		
OS	MARPAT 135:19916				
AB	Keto amide and keto ester compds. R9-A6-A5-A4-A3-A2-NHCHR1R2COCO-W-Q [W = NH or O; Q = substituted alkyl, alkenyl, or alkynyl or an amino acid residue; A2 is a bond, NHCH2CO which may be C-substituted, an amino acid residue, or NRCHRCO, where NRCHR represents tetrahydropyrrole-1,2-diyl which may be substituted at the 4- and 5-positions or hexahydroindole-1,2-diyl; A3 or A4 is a bond, NHCH2CO which may be C-substituted, or an amino acid residue; A5 or A6 is a bond or an amino acid residue; R1 = H, F, or alkyl; R9 = S(O)R9a, SO2R9a, C(O)R9a, C(O)NHR9a, alkyl-R9a, alkenyl-R9a, or alkynyl-R9a, where R9a = substituted alkyl, cycloalkyl, aryl, or heterocyclyl] or stereoisomeric forms or pharmaceutically acceptable salts were prepd. as inhibitors of HCV NS3 protease. Thus, N-(2-pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-3-cyclohexyl-L-alanyl-2-oxo-(3S)-3-aminopentanoylglycine was prepd. by a multistep sequence which includes peptide coupling reactions in soln. Compds. of the invention exhibit ki values of .ltoreq.60 .mu.M, thereby confirming their utility as effective NS3 protease inhibitors.				
ST	peptide keto amide ester prepn inhibitor NS3 protease; hepatitis C virus protease inhibitor peptide keto amide				
IT	Hepatitis C virus (prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)				
IT	Peptides, preparation RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)				
IT	342612-00-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)				
IT	319010-09-8P 342611-10-3P 342611-11-4P 342611-12-5P 342611-13-6P 342611-15-8P 342611-16-9P 342611-17-0P 342611-18-1P 342611-19-2P 342611-20-5P 342611-21-6P 342611-22-7P 342611-23-8P 342611-24-9P 342611-25-0P 342611-26-1P 342611-27-2P 342611-28-3P 342611-29-4P 342611-30-7P 342611-31-8P 342611-32-9P 342611-33-0P 342611-34-1P				

342611-35-2P 342611-36-3P 342611-37-4P
 342611-38-5P 342611-39-6P 342611-40-9P
 342611-41-0P 342611-42-1P 342611-43-2P
 342611-44-3P 342611-45-4P 342611-46-5P
 342611-47-6P 342611-48-7P 342611-49-8P
 342611-50-1P 342611-51-2P 342611-52-3P
 342611-53-4P 342611-54-5P 342611-55-6P
 342611-56-7P 342611-57-8P 342611-58-9P
 342611-59-0P 342611-60-3P 342611-61-4P
 342611-62-5P 342611-63-6P 342611-64-7P
 342611-65-8P 342611-66-9P 342611-67-0P
 342611-68-1P 342611-69-2P 342611-70-5P
 342611-71-6P 342611-72-7P 342611-73-8P
 342611-74-9P 342611-75-0P 342611-76-1P
 342611-77-2P 342611-78-3P 342611-79-4P
 342611-80-7P 342611-81-8P 342611-82-9P
 342611-83-0P 342611-84-1P 342611-85-2P
 342611-86-3P 342611-87-4P 342611-88-5P
 342611-89-6P 342611-90-9P 342611-91-0P
 342611-92-1P 342611-93-2P 342611-94-3P
 342611-95-4P 342611-96-5P 342611-97-6P
 342611-98-7P 342611-99-8P 342612-01-5P 342612-02-6P 342612-03-7P
 342612-04-8P 342612-05-9P 342612-06-0P
 342612-07-1P 342612-08-2P 342612-09-3P 342612-10-6P 342612-11-7P
 342612-12-8P 342612-13-9P 342612-14-0P 342612-15-1P 342612-16-2P
 342612-17-3P 342612-18-4P 342612-19-5P 342612-20-8P 342612-21-9P
 342612-22-0P 342612-23-1P 342612-24-2P 342612-25-3P 342612-26-4P
 342612-27-5P 342612-28-6P 342612-29-7P 342612-30-0P
 342612-31-1P 342612-32-2P 342612-33-3P
 342612-34-4P 342612-35-5P 342612-36-6P 342612-37-7P 342612-38-8P
 342612-39-9P 342612-40-2P 342612-41-3P 342612-42-4P 342612-43-5P
 342612-44-6P 342612-45-7P 342612-46-8P 342612-47-9P 342612-48-0P
 342612-49-1P 342612-50-4P 342612-52-6P 342612-54-8P 342612-56-0P
 342612-59-3P 342621-42-5P 342621-43-6P 343256-95-1P 343256-96-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)

IT 149885-80-3

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)

IT 59-66-5 61-90-5, L-Leucine, reactions 97-09-6 98-10-2,
 Benzenesulfonamide 98-64-6 98-97-5, 2-Pyrazinecarboxylic acid
 402-46-0 421-85-2, Trifluoromethanesulfonamide 452-35-7 779-71-5
 830-43-3 1205-30-7 1431-39-6 1524-40-9 1576-47-2,
 2-Naphthalenesulfonamide 1954-92-3 2070-48-6 2295-56-9 3118-68-1
 3119-02-6 3144-09-0, Methanesulfonamide 4336-70-3 4371-23-7,
 4-Biphenylsulfonamide 4563-33-1, Benzenemethanesulfonamide 4793-24-2
 5455-59-4 6325-93-5 6456-74-2 6949-23-1 6961-82-6 7720-45-8
 13881-91-9, Aminomethanesulfonic acid 17260-71-8 19797-32-1
 21506-01-4 23815-28-3 27527-05-5 29092-27-1 30058-40-3
 31602-63-8, 5-(Aminomethyl)tetrazole 35337-99-6 39213-22-4
 42918-86-5 51896-27-6 68252-72-2 88568-95-0 91569-33-4,
 [1,1'-Biphenyl]-3-sulfonamide 148992-43-2 190659-74-6 219138-72-4
 253679-11-7 284680-64-4 300382-95-0 323197-73-5 342612-76-4
 342612-77-5 342612-78-6 342612-79-7 342612-80-0 342612-81-1
 342612-87-7 342612-88-8 342612-89-9 342612-90-2 342612-91-3
 342612-92-4 342612-93-5 342612-94-6 342612-95-7 342612-96-8
 342612-97-9 342612-98-0 342612-99-1 342613-02-9 342621-41-4
 RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3
protease)

IT 50715-50-9P 58872-03-0P 99429-45-5P 106665-76-3P 188054-58-2P
274918-51-3P 319010-06-5P 319011-72-8P 319011-74-0P 319011-76-2P
342612-60-6P 342612-61-7P 342612-62-8P 342612-63-9P 342612-64-0P
342612-65-1P 342612-66-2P 342612-67-3P 342612-68-4P 342612-69-5P
342612-70-8P 342612-71-9P 342612-72-0P 342612-73-1P 342612-74-2P
342612-82-2P 342612-83-3P 342612-84-4P 342612-85-5P 342612-86-6P
342613-00-7P 342613-01-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3
protease)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Akzo Nobel Nv; WO 9850420 A 1998 HCAPLUS
- (2) Alkermes Inc; WO 9500535 A 1995 HCAPLUS
- (3) Bailey, M; WO 9907734 A 1999 HCAPLUS
- (4) Beecham Group Plc; EP 0445467 A 1991 HCAPLUS
- (5) Boehringer Ingelheim Ca Ltd; WO 9829435 A 1998 HCAPLUS
- (6) Cephalon Inc; WO 9917790 A 1999 HCAPLUS
- (7) Deininger, D; WO 9817679 A 1998 HCAPLUS
- (8) Georgia Tech Res Inst; WO 9212140 A 1992 HCAPLUS
- (9) Zaidan Hojin Biseibutsu; EP 0423358 A 1991 HCAPLUS

IT 342612-00-4P

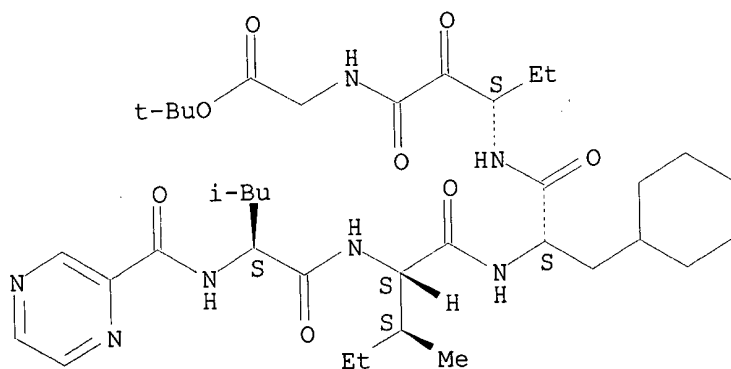
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)

(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3
protease)

RN 342612-00-4 HCAPLUS

CN Glycine, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-3-cyclohexyl-L-alanyl-
(3S)-3-amino-2-oxopentanoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



L43 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:760024 HCAPLUS

DN 132:93653

TI Preparation of .alpha.-ketoamide peptides as antiviral HCV proteinase
inhibitors

IN Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Raynham,
Tony Michael; Wilson, Francis Xavier

PA F. Hoffmann-La Roche A.-G., Switz.

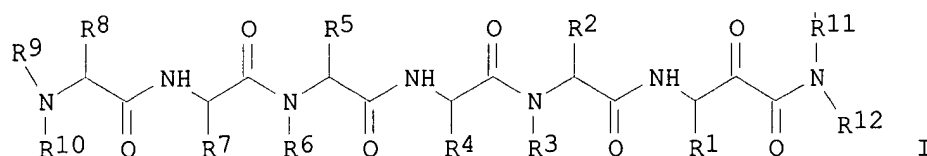
SO Fr. Demande, 130 pp.

CODEN: FRXXBL

DT Patent
 LA French
 IC ICM C07K007-00
 ICS A61K038-08
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 7, 10, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2778406	A1	19991112	FR 1999-5650	19990504 <--
	FR 2778406	B1	20030509		
	US 6187905	B1	20010213	US 1999-305030	19990504 <--
	IT 1312558	B1	20020422	IT 1999-MI950	19990504 <--
	GB 2338482	A1	19991222	GB 1999-10384	19990505 <--
	ES 2165269	A1	20020301	ES 1999-918	19990505 <--
	JP 11349597	A2	19991221	JP 1999-125419	19990506 <--
	DE 19920966	A1	20000113	DE 1999-19920966	19990506 <--
PRAI	GB 1998-9664	A	19980506		<--
OS	MARPAT 132:93653				
GI					



AB .alpha.-Ketoamide peptides I (R1 = alkyl, haloalkyl, cyanoalkyl, aralkyl, thioalkyl, heteroalkyl, alkenyl, alkynyl; R2 = alkyl, hydroxyalkyl, carboxyalkyl, aralkyl, aminocarbonylalkyl, cycloalkyl, arylalkoxyalkyl; R3, R6, R9 = independently H, alkyl; R2R3 = alkylidene; R4 = alkyl, hydroxyalkyl, cycloalkyl, carboxyalkyl, arylalkyl, arylalkoxyalkyl, thioalkyl, cyanoalkyl, alkenyl, aryl, heteroarylalkyl, arylsulfonylalkyl, acetamidothioalkyl, cycloalkyl; R5 = alkyl, hydroxyalkyl, thioalkyl, aralkyl, cyanoalkyl, thioalkyl, cycloalkyl, arylalkoxyalkyl, aryl, arylsulfonylguanidinoalkyl, heteroarylalkyl; R7 = H, alkyl, carboxyalkyl, hydroxyalkyl, arylalkyl, cycloalkyl, heteroarylalkyl, nitroguanidinoalkyl, thioalkyl, arylalkoxycarbonylalkyl, formamidoalkyl; R8 = alkyl, cycloalkyl, carboxyalkyl, arylalkoxyalkyl, mercaptoalkyl, aryl, nitroguanidinoalkyl, thioalkyl, formamidoalkyl; R8R9 = sulfur-contg, trimethylene; R10 = alkyl, alkoxyalkylcarbonyl, acyl; R11, R12 = independently H, alkyl, aryl, arylalkyl, cycloalkyl, alkoxy, OH) were prepd. as HCV proteinase inhibitors and antiviral agents.
 3(RS)-[[N-[N-[N-[N-[N-(3-carboxypropionyl)-L-.alpha.-aspartyl]-L-.alpha.-glutamyl]-2-methyl-L-phenylalanyl]-3-methyl-L-valyl]-L-leucyl]amino]-5,5,5-trifluoro-N-[1(S)-2-naphthylethyl]-2-oxovaleramide was prepd. as antiviral HCV proteinase inhibitor (EC50 = 0.004 .mu.mol/L).

ST ketoamide peptide prepn antiviral HCV proteinase inhibitor

IT Antiviral agents

(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

IT Peptides, preparation

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

IT 254437-04-2P 254437-09-7P 254437-13-3P 254437-17-7P 254437-21-3P
 254437-25-7P **254437-29-1P** 254437-33-7P 254437-37-1P

254437-41-7P 254437-45-1P 254437-49-5P 254437-53-1P 254437-57-5P
 254437-61-1P 254437-65-5P 254437-69-9P 254437-73-5P 254437-77-9P
 254437-81-5P 254437-85-9P 254437-89-3P 254437-96-2P 254438-01-2P
 254438-07-8P 254438-08-9P 254438-15-8P 254438-21-6P
 254438-26-1P 254438-32-9P 254438-39-6P 254438-45-4P 254438-51-2P
 254438-60-3P 254438-61-4P 254438-69-2P 254438-80-7P 254438-83-0P
 254438-92-1P 254438-95-4P 254438-96-5P
 254438-97-6P 254438-98-7P 254438-99-8P
 254439-01-5P 254439-03-7P 254439-05-9P
 254439-07-1P 254439-08-2P 254439-09-3P
 254439-10-6P 254439-11-7P 254439-12-8P
 254439-13-9P 254439-14-0P 254439-15-1P
 254439-16-2P 254439-17-3P 254439-18-4P
 254439-19-5P 254439-20-8P 254439-21-9P
 254439-22-0P 254439-23-1P 254439-24-2P
 254439-25-3P 254439-26-4P 254439-27-5P
 254439-28-6P 254439-29-7P 254439-30-0P
 254439-31-1P 254439-32-2P 254439-33-3P
 254439-34-4P 254439-35-5P 254439-36-6P
 254439-37-7P 254439-38-8P 254439-39-9P
 254439-40-2P 254439-41-3P 254439-42-4P
 254439-43-5P 254439-44-6P 254439-45-7P
 254439-46-8P 254439-47-9P 254439-48-0P
 254439-49-1P 254439-50-4P 254439-51-5P
 254439-52-6P 254439-53-7P 254439-54-8P
 254439-55-9P 254439-56-0P 254439-57-1P
 254439-58-2P 254439-59-3P 254439-60-6P
 254439-61-7P 254439-62-8P 254439-63-9P
 254439-64-0P 254439-65-1P 254439-66-2P
 254439-67-3P 254439-68-4P 254439-69-5P
 254439-70-8P 254439-71-9P 254439-72-0P
 254439-73-1P 254439-74-2P 254439-75-3P
 254439-76-4P 254439-77-5P 254439-78-6P
 254439-79-7P 254439-80-0P 254439-81-1P
 254439-82-2P 254439-83-3P 254439-84-4P
 254439-85-5P 254439-86-6P 254439-87-7P
 254439-88-8P 254439-89-9P 254439-90-2P
 254439-91-3P 254439-92-4P 254439-93-5P
 254439-94-6P 254439-95-7P 254439-96-8P
 254439-97-9P 254439-98-0P 254439-99-1P
 254440-00-1P 254440-01-2P 254440-02-3P
 254440-03-4P 254440-04-5P 254440-05-6P
 254440-06-7P 254440-07-8P 254440-08-9P
 254440-09-0P 254440-10-3P 254440-11-4P
 254440-12-5P 254440-13-6P 254440-14-7P
 254440-15-8P 254440-16-9P 254440-17-0P
 254440-18-1P 254440-21-6P 254454-93-8P
 254454-94-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

IT 9001-92-7, Proteinase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

IT 75-31-0, 2-Propanamine, reactions 75-64-9, reactions 91-00-9
 93-09-4, 2-Naphthoic acid 95-68-1, 2,4-Dimethylaniline 100-74-3,
 4-Ethyl-morpholine 102-50-1 1117-97-1, N,O-Dimethylhydroxylamine
 2627-86-3 3082-62-0 3082-64-2 3789-59-1 3789-60-4 4083-57-2,
 2,4-Dimethyl-3-pentylamine 5068-28-0 5071-96-5, 3-Methoxybenzylamine

6123-62-2 6150-01-2 7409-18-9, 3-Nitrobenzylamine 7409-30-5
 10352-88-2 13734-34-4 17430-98-7 17480-69-2 18542-42-2,
 2-(Methylthio)ethylamine 22356-89-4 26164-26-1, (+)-
 Methoxyphenylacetic acid 27757-85-3, 2-Thiophenemethanamine 35661-40-6
 38235-77-7 67194-09-6 68906-26-3 71989-14-5 71989-18-9
 84697-13-2 102831-44-7 104322-63-6 127273-06-7 132684-60-7
 144868-76-8 172649-57-9, 5-(Chloromethyl)oxazole 194096-78-1
 208520-88-1 211637-75-1 254438-02-3 254438-33-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase
 inhibitors)

IT 6315-96-4P 87694-53-9P 98254-05-8P 113443-62-2P 129488-82-0P
 154667-96-6P 208520-15-4P 208520-16-5P 208520-17-6P 208520-35-8P
 208520-69-8P 208520-71-2P 208520-72-3P 208520-73-4P 208520-75-6P
 208520-77-8P 208520-78-9P 208521-16-8P 208521-17-9P 208521-19-1P
 208521-21-5P 208521-23-7P 208521-25-9P 208521-99-7P 208522-02-5P
 254437-00-8P 254437-02-0P 254437-03-1P 254437-05-3P 254437-06-4P
 254437-07-5P 254437-08-6P 254437-10-0P 254437-11-1P 254437-12-2P
 254437-14-4P 254437-15-5P 254437-16-6P 254437-18-8P 254437-19-9P
 254437-20-2P 254437-22-4P 254437-23-5P 254437-24-6P 254437-26-8P
 254437-27-9P **254437-28-0P** 254437-30-4P 254437-31-5P
 254437-32-6P 254437-34-8P 254437-35-9P 254437-36-0P 254437-38-2P
 254437-39-3P 254437-40-6P 254437-42-8P 254437-43-9P 254437-44-0P
 254437-46-2P 254437-47-3P 254437-48-4P 254437-50-8P 254437-51-9P
 254437-52-0P 254437-54-2P 254437-55-3P 254437-56-4P 254437-58-6P
 254437-59-7P 254437-60-0P 254437-62-2P 254437-63-3P 254437-64-4P
 254437-66-6P 254437-67-7P 254437-68-8P 254437-70-2P 254437-71-3P
 254437-72-4P 254437-74-6P 254437-75-7P 254437-76-8P 254437-78-0P
 254437-79-1P 254437-80-4P 254437-82-6P 254437-83-7P 254437-84-8P
 254437-86-0P 254437-87-1P 254437-88-2P 254437-90-6P 254437-91-7P
 254437-92-8P 254437-93-9P 254437-94-0P 254437-95-1P 254437-97-3P
 254437-98-4P 254437-99-5P 254438-00-1P 254438-03-4P 254438-04-5P
 254438-05-6P **254438-06-7P** 254438-09-0P 254438-10-3P
 254438-11-4P 254438-13-6P 254438-14-7P 254438-16-9P 254438-17-0P
 254438-19-2P 254438-20-5P 254438-22-7P 254438-24-9P 254438-25-0P
 254438-27-2P 254438-28-3P 254438-30-7P 254438-31-8P 254438-34-1P
 254438-35-2P 254438-37-4P 254438-38-5P 254438-40-9P 254438-41-0P
 254438-43-2P 254438-44-3P 254438-46-5P 254438-47-6P 254438-49-8P
 254438-50-1P 254438-52-3P 254438-53-4P 254438-55-6P 254438-56-7P
 254438-57-8P 254438-58-9P 254438-59-0P 254438-62-5P 254438-63-6P
 254438-64-7P 254438-65-8P 254438-66-9P 254438-67-0P 254438-68-1P
 254438-70-5P 254438-71-6P 254438-72-7P 254438-73-8P 254438-74-9P
 254438-75-0P 254438-76-1P 254438-77-2P 254438-78-3P 254438-79-4P
 254438-81-8P 254438-82-9P 254438-84-1P 254438-85-2P 254438-86-3P
 254438-87-4P 254438-88-5P 254438-89-6P 254438-90-9P 254438-91-0DP,
 polystyrene bound 254438-93-2P 254438-94-3P 254440-22-7P
 254440-23-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase
 inhibitors)

IT **254437-29-1P**

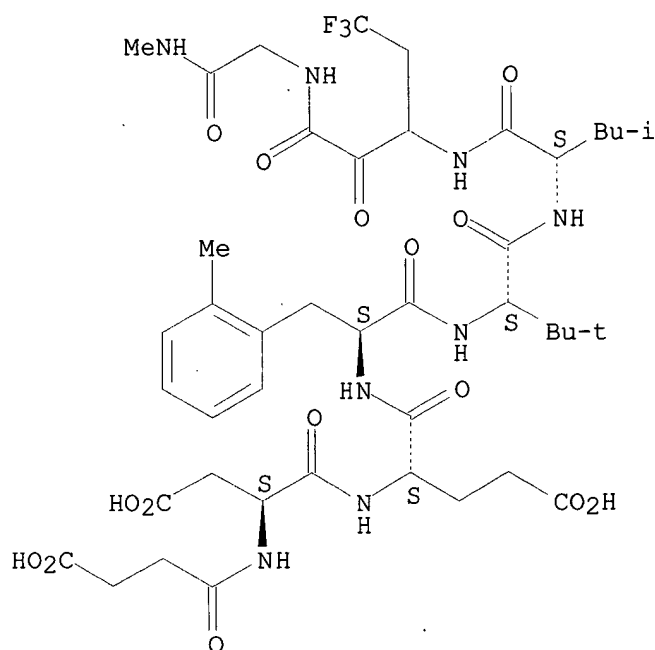
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase
 inhibitors)

RN 254437-29-1 HCAPLUS

CN Glycinamide, N-(3-carboxy-1-oxopropyl)-L-.alpha.-aspartyl-L-.alpha.-
 glutamyl-2-methyl-L-phenylalanyl-3-methyl-L-valyl-L-leucyl-3-amino-5,5,5-
 trifluoro-2-oxopentanoyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:485077 HCAPLUS

DN 129:122872

TI Peptidomimetic inhibitors of the human cytomegalovirus protease

IN Bailey, Murray; Fazal, Gulrez; Lavallee, Pierre; Ogilvie, William; Poupart, Marc-Andre

PA Boehringer Ingelheim (Canada) Ltd., Can.

SO PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07K005-10

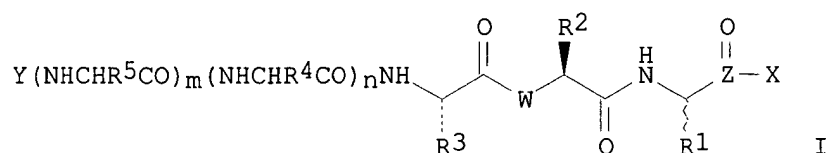
ICS C07K005-08; C07K005-06; C07K005-02; A61K038-55

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9829435	A1	19980709	WO 1997-CA1004	19971223 <--
	W: CA, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP	948523	A1	19991013	EP 1997-951048	19971223 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001508418	T2	20010626	JP 1998-529511	19971223 <--
	US 6291640	B1	20010918	US 1998-171554	19981019 <--
PRAI	US 1996-34041P	P	19961227	<--	
	US 1997-52860P	P	19970717	<--	
	US 1997-59806P	P	19970923	<--	
	WO 1997-CA1004	W	19971223	<--	
OS	MARPAT 129:122872				
GI					



AB Compds. I [Z = C or P; X = CF₃, C₂F₅, benzothiazole, CF₂CONHR₆, CONHR₆ [R₆ = alkyl, (un)substituted Ph or cyclohexyl], etc.; R₁ = H, Me, Et; R₂ = CH₂SO₂NH₂, alkyl, arylalkyl, etc.; R₃ = alkyl, carboxyalkyl, adamantyl; R₄ = alkyl, arylalkyl; R₅ = H, CH₂OH; W = NH, CH₂, CHMe; Y = H, t-BuCH₂CH₂, acyl; m, n = 0, 1] were prepd. as inhibitors of the human cytomegalovirus (HCMV) protease. Thus, N1-(3,3,3-trifluoro-1-methyl-2-oxopropyl)-(2S)-2-[(1S)-2-methyl-1-[(1S)-2-methyl-1-[(methylcarboxamido)methyl]carboxamidopropyl]carboxamido]propylcarboxamido]butanediamide, prepd. by the solid-phase method, showed IC₅₀ = 1.8.+-0.3 .mu.M for inhibition of HCMV No protease.

ST peptidomimetic prepn inhibitor human cytomegalovirus protease

IT Peptidomimetics

(peptidomimetic inhibitors of the human cytomegalovirus protease)

IT	106771-20-4P	198955-72-5P	198955-74-7P	198955-75-8P	198955-76-9P
	198955-77-0P	198955-78-1P	198955-79-2P	198955-80-5P	198955-81-6P
	198955-82-7P	198955-83-8P	198955-84-9P	198955-85-0P	198955-86-1P
	198955-87-2P	198955-88-3P	198955-90-7P	198955-92-9P	198955-93-0P
	198955-94-1P	198955-95-2P	198955-96-3P	198955-97-4P	198955-98-5P
	198955-99-6P	198956-00-2P	198956-01-3P	198956-02-4P	198956-03-5P
	198956-04-6P	198956-05-7P	198956-06-8P	198956-10-4P	198956-12-6P
	198956-13-7P	198956-15-9P	198956-16-0P	198956-17-1P	198956-18-2P
	198956-19-3P	198956-20-6P	198956-21-7P	198956-22-8P	198956-24-0P
	198956-25-1P	198956-26-2P	198956-27-3P	198956-28-4P	198956-29-5P
	210290-47-4P	210290-48-5P	210290-49-6P	210290-50-9P	210290-51-0P
	210290-52-1P	210290-53-2P	210290-54-3P	210290-63-4P	210290-64-5P
	210290-65-6P	210290-66-7P	210290-67-8P	210290-68-9P	210290-69-0P
	210290-70-3P	210290-71-4P	210290-72-5P	210290-73-6P	210290-74-7P
	210290-75-8P	210290-76-9P	210290-77-0P	210290-78-1P	210290-79-2P
	210290-80-5P	210290-81-6P	210290-82-7P	210290-83-8P	210290-84-9P
	210290-85-0P	210290-86-1P	210290-87-2P	210290-88-3P	210290-89-4P
	210290-90-7P	210290-91-8P	210290-92-9P	210290-93-0P	
	210290-94-1P	210290-95-2P	210290-96-3P	210290-97-4P	
	210290-98-5P	210290-99-6P	210291-00-2P	210291-01-3P	210291-02-4P
	210291-03-5P	210291-04-6P	210291-05-7P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptidomimetic inhibitors of the human cytomegalovirus protease)

IT 139691-88-6

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(peptidomimetic inhibitors of the human cytomegalovirus protease)

IT	79-24-3, Nitroethane	95-16-9, Benzothiazole	95-55-6, 2-Aminophenol
	95-84-1	298-12-4, Glyoxylic acid	627-05-4, 1-Nitrobutane
		828-51-3, 1-Adamantanecarboxylic acid	2835-97-4
		2835-98-5, 6-Amino-3-methylphenol	16867-03-1, 2-Amino-3-hydroxypyridine
		17016-83-0	17347-61-4, 2,2-Dimethylsuccinic anhydride
		17672-22-9, 6-Amino-2-methylphenol	28875-17-4, Boc-Ala-OMe
		87694-49-3	114744-83-1
		210290-57-6	210290-58-7
		210290-61-2	

RL: RCT (Reactant); RACT (Reactant or reagent)

(peptidomimetic inhibitors of the human cytomegalovirus protease)

IT	2094-72-6P, 1-Adamantanecarboxylic acid chloride	79069-13-9P
	79069-50-4P	180778-94-3P
	198955-56-5P	198955-57-6P
	198955-58-7P	198955-59-8P
	198955-60-1P	198955-61-2P
	198955-62-3P	198955-63-4P

198955-64-5P 198955-65-6P 198955-68-9P 198955-69-0P 198955-70-3P
 198956-34-2P 198956-35-3P 198956-37-5P 198956-38-6P 198956-39-7P
 198956-43-3P 198956-45-5P 198956-52-4P 198956-53-5P 198956-55-7P
 200810-94-2P 210290-44-1P 210290-45-2P 210290-46-3P 210290-59-8P
 210290-60-1P 210290-62-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(peptidomimetic inhibitors of the human cytomegalovirus protease)

IT 142148-84-3P 198955-71-4P 198956-07-9P 198956-08-0P 198956-09-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(peptidomimetic inhibitors of the human cytomegalovirus protease)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Abuelyaman, A; BIOCONJUGATE CHEMISTRY 1994, V5(5), P400 HCAPLUS
- (2) Bonneau, P; BIOCHEMISTRY 1997, V36(41), P1264
- (3) Cephalon Inc; WO 9710231 A 1997 HCAPLUS
- (4) Derstine, C; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(35), P8485 HCAPLUS
- (5) Merrell Dow Pharmaceuticals Inc; EP 0410411 A 1991 HCAPLUS
- (6) Murphy, A; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1992, V114(8), P3156 HCAPLUS
- (7) Ogilvie, W; JOURNAL OF MEDICINAL CHEMISTRY 1997, V40(25), P4113 HCAPLUS

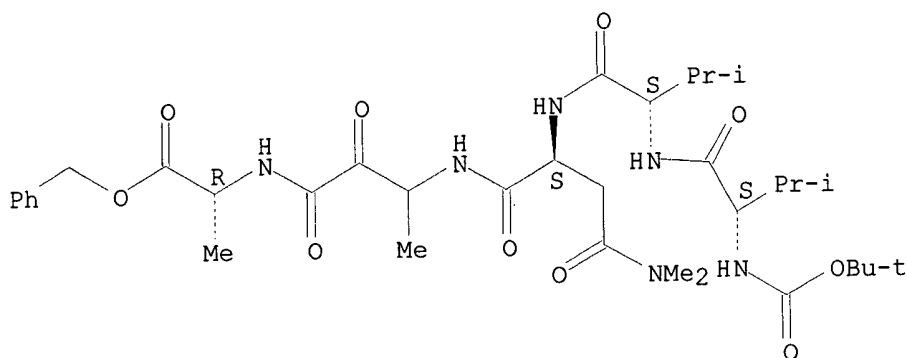
IT 210290-94-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (peptidomimetic inhibitors of the human cytomegalovirus protease)

RN 210290-94-1 HCAPLUS

CN D-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-L-valyl-N,N-dimethyl-L-asparaginy-3-amino-2-oxobutanoyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:227654 HCAPLUS

DN 122:131140

TI Poststatin and related compounds or salts thereof

IN Takeuchi, Tomio; Aoyagi, Takaaki; Hamada, Masa; Naganawa, Hiroshi; Ogawa, Keiji; Nagai, Machiko; Muraoka, Yasuhiko; Tsuda, Makoto

PA Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai, Japan

SO U.S., 20 pp. Cont.-in-part of U.S. 5,162,500.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07C229-00

ICS A61K037-00; A61K037-02; C07K005-00

NCL 562567000

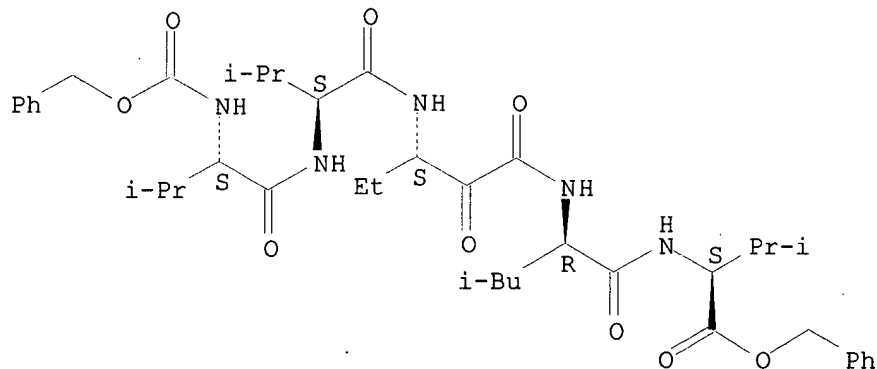
CC 16-2 (Fermentation and Bioindustrial Chemistry)

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5359138	A	19941025	US 1992-905792	19920629 <--
	EP 672648	A1	19950920	EP 1995-106762	19900413 <--
	EP 672648	B1	19980923		
	R: DE, FR, GB, IT				
	US 5162500	A	19921110	US 1990-613759	19901207 <--
PRAI	JP 1989-94328		19890415		<--
	US 1990-613759		19901207		<--
	EP 1990-905686		19900413		<--
	WO 1990-JP491		19900413		<--
OS	MARPAT 122:131140				
AB	A novel, biol. active substance, poststatin, was isolated from a culture medium of Streptomyces. The novel substance is a peptide compd. having a novel structure, wherein the peptide chains have ketone radicals. Thus the substance has a high endopeptidase inhibition activity. It is possible to chem. synthesize poststatin-related compds. having ketone radicals in the peptide chains. These compds. also have endopeptidase inhibition activity.				
ST	poststatin endopeptidase inhibitor Streptomyces				
IT	Streptomyces viridochromogenes (endopeptidase inhibitor poststatin from Streptomyces viridochromogenes)				
IT	135219-44-2P	135219-46-4P	135219-48-6P	135219-49-7P	
	135219-50-0P	135219-51-1P	135219-52-2P	135219-53-3P	
	135219-54-4P	135219-55-5P	135219-56-6P	135219-57-7P	
	135219-58-8P	135219-59-9P	135219-60-2P	135219-61-3P	
	135219-62-4P	135270-54-1P	135355-22-5P	141187-11-3P	
	141187-12-4P	141187-13-5P	141187-14-6P		
	141187-15-7P	160772-54-3P	160772-55-4P	160866-54-6P	
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (endopeptidase inhibiting poststatin deriv.)				
IT	135219-43-1P, Poststatin				
	RL: BAC (Biological activity or effector, except adverse); BMF (Bioindustrial manufacture); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (endopeptidase inhibitor poststatin from Streptomyces viridochromogenes)				
IT	37205-61-1, Proteinase inhibitor				
	RL: BSU (Biological study, unclassified); BIOL (Biological study) (endopeptidase inhibitor poststatin from Streptomyces viridochromogenes)				
IT	9047-22-7, Cathepsin B 72162-84-6, Prolylendopeptidase				
	RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; endopeptidase inhibitor poststatin from Streptomyces viridochromogenes)				
IT	84111-38-6P	135219-70-4P	135219-71-5P	135219-72-6P	135219-73-7P
	135219-74-8P	141187-09-9P	141406-78-2P	160772-56-5P	160772-57-6P
	160913-65-5P	160913-66-6P	160913-67-7P	160913-68-8P	
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis and utilization in peptide synthesis)				
IT	135219-44-2P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (endopeptidase inhibiting poststatin deriv.)				

RN 135219-44-2 HCAPLUS
 CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-(3S)-3-amino-2-oxopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 1992:612981 HCAPLUS
 DN 117:212981
 TI Preparation of peptides containing .beta.-amino-.alpha.-ketoacid groups as protease inhibitors
 IN Yamada, Fumika; Sugimura, Hideo; Someno, Tetsuya; Muraoka, Yasuhiko; Tsuda, Makoto; Takeuchi, Tomio; Aoyanagi, Takaaki
 PA Nippon Kayaku Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 14 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 IC ICM C07C237-22
 ICS A61K037-02; C07C271-22; C07K005-06
 ICA C12N009-99
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04149166	A2	19920522	JP 1990-272183	19901012 <--
PRAI	JP 1990-272183		19901012	<--	

OS MARPAT 117:212981

AB XNHCHRCOCOY [I; X = H, amino, (un)protected peptide or amino acid residue; Y = (un)protected peptide or amino acid residue; R = (un)substituted Ph or naphthyl] are prepd. as protease inhibitors (no data). Thus, N-acylation of threo-3-amino-2-hydroxy-4-(o-methoxyphenyl)butyric acid with di-tert-Bu dicarbonate in 1N NaOH and dioxane and condensation of the resultant threo-3-tert-butoxycarbonylamino-2-hydroxy-4-(o-methoxyphenyl)butyric acid (64.5% yield) with H-D-Val-Val-OCH₂Ph.CF₃CO₂H in the presence of 1-hydroxybenzotriazole and DCC in CH₂Cl₂ gave 80.5% N-[(3RS)-3-tert-butoxycarbonylamino-2-hydroxy-4-(o-methoxyphenyl)butanoyl]-D-leucyl-L-valine benzyl ester which was oxidized with pyridine trifluoroacetate, DCC, and DMSO in benzene to give 73.1% N-[(3RS)-3-tert-butoxycarbonylamino-2-oxo-4-(o-methoxyphenyl)butanoyl]-D-leucyl-L-valine benzyl ester. A total of 18 I were prepd.

ST peptide aminoketoacyl prepn protease inhibitor

IT Peptides, preparation

RL: SPN (Synthetic preparation); PREP (Preparation)

(arylaminooxobutyric acid-contg., prepn. of, as protease inhibitors)

IT 9001-92-7, Protease

RL: USES (Uses)

(inhibitors, arylaminooxobutyric acid-contg. peptides)

IT 144139-08-2P 144139-09-3P 144139-10-6P 144139-11-7P 144139-12-8P
 144139-13-9P 144139-14-0P 144139-15-1P 144139-16-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for peptide protease inhibitor)

IT 144179-41-9P 144179-42-0P 144179-43-1P 144179-54-4P 144179-55-5P
 144179-56-6P 144179-57-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for protease inhibitor)

IT 144138-91-0P 144138-92-1P 144138-93-2P 144138-94-3P 144138-95-4P
 144138-96-5P 144138-97-6P 144138-98-7P 144138-99-8P 144139-00-4P
144139-01-5P 144139-02-6P 144139-03-7P 144139-04-8P
 144139-05-9P 144139-06-0P 144139-07-1P 144139-17-3P 144179-34-0P
 144179-35-1P 144179-36-2P 144179-37-3P 144179-38-4P 144179-39-5P
 144179-40-8P 144179-44-2P 144179-45-3P 144179-46-4P 144179-47-5P
 144179-48-6P 144179-49-7P **144179-50-0P 144179-51-1P**
 144179-52-2P 144179-53-3P 144239-26-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as protease inhibitor)

IT 1161-13-3 13734-41-3 24424-99-5, Di-tert-butyl dicarbonate
 76476-38-5 76476-50-1 141403-96-5, D-Leucyl-L-valine benzyl ester
 trifluoroacetate

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in prepn. of peptide protease inhibitor)

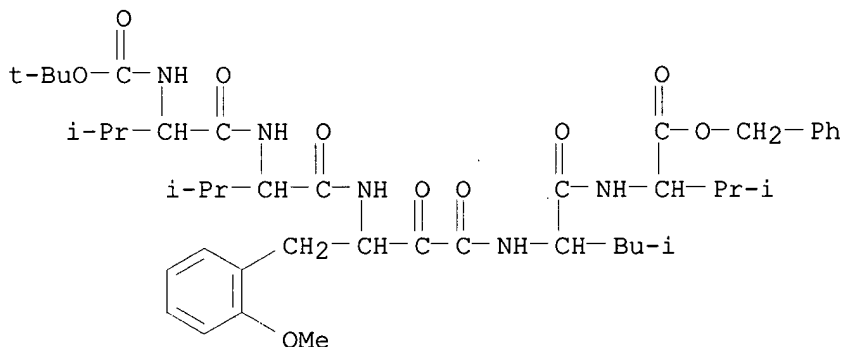
IT **144139-01-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as protease inhibitor)

RN 144139-01-5 HCAPLUS

CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-L-valyl-4-(2-methoxyphenyl)-2-oxo-(R)-3-aminobutanoyl-D-leucyl-, phenylmethyl ester
 (9CI) (CA INDEX NAME)



L43 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1992:236175 HCAPLUS

DN 116:236175

TI Preparation of peptides containing 3-amino-2-oxoalkanoic acid residue as endopeptidase inhibitors

IN Takeuchi, Tomio; Aoyanagi, Takaaki; Muraoka, Yasuhiko; Tsuda, Makoto;
 Nagai, Machiko

PA Microbiochemical Research Foundation, Japan

SO Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

IC ICM C07B041-06

ICS C07C271-18; C07D207-16; C07K001-02; C07K005-06

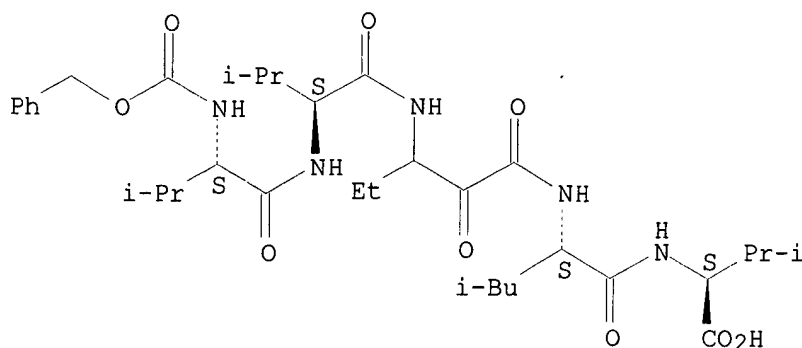
ICA A61K037-64; B01J031-02

CC 34-3 (Amino Acids, Peptides, and Proteins)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04001140	A2	19920106	JP 1990-99174	19900413 <--
PRAI	JP 1990-99174		19900413 <--		
OS	MARPAT 116:236175				
AB	Peptides contg. NHCHR1COCO (R1 = satd. or unsatd. hydrocarbyl) fragments are prepd. Et3N and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide perchlorate were added to a soln. of Boc-D-Leu-OH. H2O, L-valine benzyl ester tosylate, and N-hydroxybenzotriazole in CH2Cl2 under cooling and the mixt. was stirred at room temp. to give 97.8% Boc-D-Leu-Val-OCH2Ph, which as the CF3CO2H salt was coupled with (2R,3S)-3-p-methoxybenzyloxycarbonyl)amino-2-hydroxypentanoic acid and further coupled with valine twice, and subsequent oxidn., to give Z-Val-Val-(S)-NHCHetCOCO-D-Leu-Val-OCH2Ph, which showed IC50 of 1 .mu.g/mL against prolyl endopeptidase, 75 .mu.g/mL against elastase, and 100 .mu.g/mL against cathepsin B.				
ST	aminooxoalkanoyl peptide prepn endopeptidase inhibitor				
IT	Peptides, preparation				
	RL: SPN (Synthetic preparation); PREP (Preparation) (aminooxoalkanoic acid-contg., prepn. of, as endopeptidase inhibitors)				
IT	9001-92-7, Endopeptidase				
	RL: USES (Uses) (inhibitors, aminooxoalkanoyl peptides)				
IT	135219-63-5P	135219-65-7P	135219-67-9P	135219-70-4P	135219-71-5P
	141187-09-9P				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and reaction of, in prepn. of endopeptidase inhibitor)				
IT	135219-43-1P	135219-45-3P	135219-46-4P	135219-47-5P	135219-48-6P
	135219-49-7P	135219-50-0P	135219-52-2P	135219-53-3P	
	135219-54-4P	135219-55-5P	135219-56-6P	135219-57-7P	
	135219-58-8P	135219-59-9P	135219-60-2P	135219-61-3P	
	135219-62-4P	135270-54-1P	135355-22-5P	141187-10-2P	
	141187-11-3P	141187-12-4P	141187-13-5P		
	141187-14-6P	141187-15-7P	141270-17-9P		
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as endopeptidase inhibitor)				
IT	16652-76-9, Valine benzyl ester tosylate				16937-99-8
	RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, in prepn. of endopeptidase inhibitor)				
IT	135219-49-7P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as endopeptidase inhibitor)				
RN	135219-49-7 HCAPLUS				
CN	L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-L-leucyl- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



L43 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 1991:490647 HCAPLUS
 DN 115:90647
 TI Microbial preparation of postostatin and chemical synthesis of related compounds
 IN Takeuchi, Tomio; Aoyagi, Takaaki; Hamada, Masa; Naganawa, Hiroshi; Muraoka, Yasuhiko; Ogawa, Keiji; Nagai, Machiko; Tsuda, Makoto
 PA Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai, Japan
 SO PCT Int. Appl., 85 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 IC ICM C07K005-08
 ICS C12P021-02; A61K037-02
 ICI C12P021-02, C12R001-465
 CC 16-2 (Fermentation and Bioindustrial Chemistry)
 Section cross-reference(s): 7, 34, 63
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9012805	A1	19901101	WO 1990-JP491	19900413 <--
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
	EP 423358	A1	19910424	EP 1990-905686	19900413 <--
	R: DE, FR, GB, IT				
	EP 672648	A1	19950920	EP 1995-106762	19900413 <--
	EP 672648	B1	19980923		
	R: DE, FR, GB, IT				
	US 5162500	A	19921110	US 1990-613759	19901207 <--
PRAI	JP 1989-94328		19890415	<--	
	EP 1990-905686		19900413	<--	
	WO 1990-JP491		19900413	<--	

OS MARPAT 115:90647

AB Postostatin (I) and its analogs X-NHCR1HCOCOY ((protected peptide residues, (NH2-protected) amino acid residues; R1 = (un)satd. hydrocarbons; configuration of R1-bonded C atom is S or RS; Y = (protected peptide residues, s (HO2C-protected) amino acid residues), potent endopeptidase inhibitors having pharmaceutical applications, are manufd. by Streptomyces or by chem. synthesis. *S. viridochromogenes* was cultured by conventional methods at 27.degree. for 4 days. From 12.5-L culture filtrate, I 20 mg was recovered after a series of chromatog. and HPLC. I (m.p. 169-171.degree.) having a defined peptide structure was also characterized with IR and NMR. Chem. synthesis of I and a variety of analogs, e.g. Z-L-phenylalanyl-(RS)-3-amino-2-oxopentanoyl-D-leucyl-L-valine tert Bu ester from amino acids and evaluation of their activities against elastase, cathepsin B, prolyl endopeptidase were also described. A pharmaceutical tablet compn. contg. I was given.

ST Streptomyces postostatin manuf; postostatin analog synthesis;
endopeptidase inhibitor postostatin

IT Molecular structure, natural product
(of postostatin)

IT Nomenclature, new natural products
(postostatin (peptide))

IT Streptomyces
Streptomyces viridochromogenes
(postostatin manuf. with, as endopeptidase inhibitor)

IT Fermentation
(postostatin, with Streptomyces, as endopeptidase inhibitor)

IT Amnesia
(treatment of, postostatin and analogs as endopeptidase inhibitor for)

IT Disease
(autoimmune, treatment of, postostatin and analogs as endopeptidase
inhibitor for)

IT Pharmaceutical dosage forms
(tablets, postostatin-contg.)

IT 9001-92-7, Endopeptidase 9004-06-2, Elastase 9047-22-7, Cathepsin B
72162-84-6, Prolyl endopeptidase
RL: BIOL (Biological study)
(inhibitors of, postostatin and analogs as)

IT 135219-43-1P, Postostatin
RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP
(Preparation)
(manuf. of, with Streptomyces, as endopeptidase inhibitor)

IT **135219-44-2P** 135219-45-3P 135219-46-4P 135219-47-5P
135219-48-6P **135219-49-7P** 135219-50-0P 135219-51-1P
135219-52-2P 135219-53-3P **135219-54-4P** 135219-55-5P
135219-56-6P **135219-57-7P** 135219-58-8P **135219-59-9P**
135219-60-2P **135219-61-3P** 135219-62-4P **135270-54-1P**
135355-22-5P
RL: PREP (Preparation)
(postostatin analog, prepn. of, as endopeptidase inhibitor)

IT 13081-32-8P 135125-26-7P 135125-27-8P 135125-28-9P 135219-63-5P
135219-65-7P 135219-67-9P 135219-70-4P 135219-71-5P 135219-72-6P
135219-73-7P 135219-74-8P 135219-75-9P 135219-76-0DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and reactions of, in prepn. of postostatin analogs)

IT 135219-43-1DP, analogs
RL: PREP (Preparation)
(prepn. of, as endopeptidase inhibitors)

IT 6066-82-6 13518-40-6 28862-79-5 41840-29-3 68858-20-8D,
resin-bound 135219-63-5 135219-64-6 135219-66-8 135219-69-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactions of, in prepn. of postostatin analogs)

IT 16652-76-9 16937-99-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactions of, in prepn. postostatin analogs as endopeptidase
inhibitor)

IT **135219-44-2P**
RL: PREP (Preparation)
(postostatin analog, prepn. of, as endopeptidase inhibitor)

RN 135219-44-2 HCAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-(3S)-3-amino-2-
oxopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> d his

(FILE 'HOME' ENTERED AT 13:58:34 ON 19 AUG 2003)
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 13:58:47 ON 19 AUG 2003

L1 1 S US20020160962/PN OR (WO2001-US22813 OR US2000-220107#)/AP,PRN
SEL RN

FILE 'REGISTRY' ENTERED AT 14:00:01 ON 19 AUG 2003

L2 309 S E1-E309
L3 STR
L4 46 S L3
L5 672 S L3 FUL
SAV L5 MOND909/A
L6 177 S L2 AND L5
L7 132 S L2 NOT L6
L8 16 S L7 AND (C34H49N5O11 OR C41H58N6O8 OR C39H58N6O8 OR C39H47F4N5
L9 21 S L7 AND SQL/FA NOT L8
L10 1 S L9 AND C33H54N6O10
L11 194 S L6,L8,L10
SAV L11 MON909A/A
L12 495 S L5 NOT L11
L13 79 S L12 NOT SQL/FA
L14 1 S L13 AND C26H42N4O6
L15 STR L3
L16 28 S L15 SAM SUB=L5
L17 445 S L15 FUL SUB=L5
SAV L17 MON909B/A
L18 STR L15
L19 28 S L18 SAM SUB=L17
L20 445 S L18 FUL SUB=L17
SAV L20 MON909C/A
L21 STR L18
L22 336 S L21 CSS FUL SUB=L20
SAV L22 MON909D/A
L23 200 S L22 NOT L11

FILE 'HCAPLUS' ENTERED AT 14:42:12 ON 19 AUG 2003

L24 2 S L11
L25 2 S L24 AND (SCHERING? OR CORVAS? OR PLOUGH?)/PA,CS
L26 2 S L24 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR BOGEN ? OR LOVEY ?
L27 2 S L24 AND (NJOROG ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L28 2 S L24-L27
L29 17 S L23
L30 4 S L29 AND (NJOROG ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L31 4 S L29 AND (SCHERING? OR CORVAS? OR PLOUGH?)/PA,CS
L32 5 S L29 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR BOGEN ? OR LOVEY ?
L33 5 S L30-L32
L34 12 S L29 AND (PD<=20000721 OR PRD<=20000721 OR AD<=20000721)
L35 6 S L28,L33
L36 10 S L34 NOT L35

FILE 'REGISTRY' ENTERED AT 14:50:02 ON 19 AUG 2003

L37 1 S 149885-80-3

FILE 'HCAPLUS' ENTERED AT 14:50:40 ON 19 AUG 2003

L38 292 S L37
L39 437 S NS3() (PROTEASE OR PROTEINASE OR HELICASE OR SERINE PROTEASE O
L40 69 S CPRO 2() (PROTEINASE OR PROTEASE) OR HEPACIVIRIN# OR NS3()NS4A
L41 0 S (EC OR "E C") ()3 4 21 98
L42 92 S (PROTEINASE OR PROTEASE) ()NS3
L43 5 S L35 AND L38-L42

L44 6 S L35,L43
L45 1 S L36 AND L38-L42
L46 6 S L44 AND ?HEPATIT?
L47 6 S L44 AND HCV
L48 7 S L45,L47
L49 2 S L36 AND (?HEPATIT? OR HCV)
L50 2 S L45,L49
L51 8 S L36 NOT L50

FILE 'REGISTRY' ENTERED AT 14:59:25 ON 19 AUG 2003

FILE 'HCAPLUS' ENTERED AT 14:59:42 ON 19 AUG 2003

L52 8 S L44-L50
L53 8 S L51 NOT L52

FILE 'REGISTRY' ENTERED AT 15:01:28 ON 19 AUG 2003
SET COST ON

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.80	621.59

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-12.37

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 15:02:40 ON 19 AUG 2003

=> d his

(FILE 'HCAPLUS' ENTERED AT 15:33:29 ON 19 AUG 2003)
DEL HIS

FILE 'REGISTRY' ENTERED AT 15:34:04 ON 19 AUG 2003
ACT MON909A/A

```

-----
L1 (      309)SEA FILE=REGISTRY ABB=ON  PLU=ON  (393581-77-6/BI OR 393581-82-
L2      STR
L3 (      672)SEA FILE=REGISTRY SSS FUL L2
L4 (      177)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 AND L3
L5 (      132)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 NOT L4
L6 (      16)SEA FILE=REGISTRY ABB=ON  PLU=ON  L5 AND (C34H49N5O11 OR C41H58
L7 (      21)SEA FILE=REGISTRY ABB=ON  PLU=ON  L5 AND SQL/FA NOT L6
L8 (      1)SEA FILE=REGISTRY ABB=ON  PLU=ON  L7 AND C33H54N6O10
L9      194 SEA FILE=REGISTRY ABB=ON  PLU=ON  (L4 OR L6 OR L8)
-----

```

ACT MON909C/A

```

-----
L10     STR
L11 (    672)SEA FILE=REGISTRY SSS FUL L10
L12     STR
L13 (    445)SEA FILE=REGISTRY SUB=L11 SSS FUL L12
L14     STR
L15     445 SEA FILE=REGISTRY SUB=L13 SSS FUL L14
-----

```

ACT MON909D/A

```

-----
L16     STR
L17 (    672)SEA FILE=REGISTRY SSS FUL L16
L18     STR
L19 (    445)SEA FILE=REGISTRY SUB=L17 SSS FUL L18
L20     STR
L21 (    445)SEA FILE=REGISTRY SUB=L19 SSS FUL L20
L22     STR
L23     336 SEA FILE=REGISTRY SUB=L21 CSS FUL L22
-----

```

```

L24     268 S L15 NOT L9
L25     68 S L24 NOT L23
L26     200 S L23 NOT L9

```

FILE 'HCAPLUS' ENTERED AT 15:41:29 ON 19 AUG 2003

```

L27     2 S L9
L28     17 S L26
L29     24 S L24,L25
L30     1 S L27 AND L28,L29
L31     2 S L27,L30
L32     23 S L28,L29 NOT L31
L33     18 S L32 AND (PD<=20000721 OR PRD<=20000721 OR AD<=20000721)
L34     2 S L33 AND (SCHERING? OR PLOUGH? OR CORVAS?)/PA,CS
L35     2 S L33 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR GIRIJAVALLABHN? OR
L36     2 S L33 AND (NJOROG ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L37     2 S L31 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR GIRIJAVALLABHN? OR
L38     2 S L31 AND (NJOROG ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L39     2 S L31 AND (SCHERING? OR PLOUGH? OR CORVAS?)/PA,CS
L40     4 S L31,L34-L39
L41     16 S L33 NOT L40
L42     9 S L41 NOT P/DT
L43     7 S L41 NOT L42

```

FILE 'REGISTRY' ENTERED AT 15:47:05 ON 19 AUG 2003

FILE 'HCAPLUS' ENTERED AT 15:47:30 ON 19 AUG 2003
SET COST ON

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

105.83

138.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-13.02

-13.02

STN INTERNATIONAL LOGOFF AT 15:49:37 ON 19 AUG 2003